— STN TRANSCRIPT 10/508,894 —

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:ssptal623zct

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 11	CA/CAplus enhanced with more pre-1907 records
NEWS 7	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 8	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 9	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12	OCT 19	The Derwent World Patents Index suite of databases on STN will be enhanced and reloaded on October 22, 2006
NEWS 13	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 14	OCT 19	E-mail format enhanced

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:31:26 ON 23 OCT 2006 10/23/06.

=> FILE REGISTRY
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 07:31:45 ON 23 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 OCT 2006 HIGHEST RN 911002-75-0
DICTIONARY FILE UPDATES: 22 OCT 2006 HIGHEST RN 911002-75-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

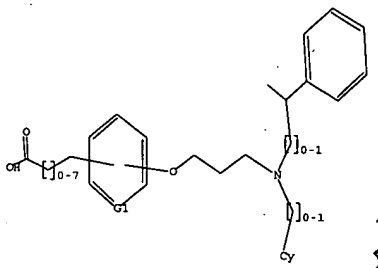
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\LXRAGONISTS Y=O.str

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

THIS WAS A SAMPLE (NO CHARGE) SEARCH FOR DET'ING UNITY OF INVENTION (IT'S A '371 CASE)

Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 07:32:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 79268 TO ITERATE

2.5% PROCESSED 2000 ITERATIONS 10 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

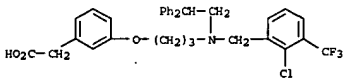
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1568619 TO 1602101
PROJECTED ANSWERS: 6732 TO 9120

L2 10 SEA SSS SAM L1

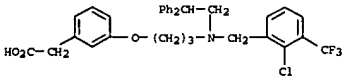
=> D 1-10

L2 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 886903-17-9 REGISTRY
ED Entered STN: 06 Jun 2006
CN Propanamide, N-2-benzothiazolyl-3-phenoxy-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)
MF C22 H19 N3 O2 S
SR Chemical Library
Supplier: Aurora Fine Chemicals
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 885101-10-0 REGISTRY
ED Entered STN: 21 May 2006
CN Benzenepropanamine, 4-methoxy-N-methyl-N-(phenylmethyl)γ-[4-(trifluoromethyl)phenoxy]-, hydrochloride (9CI) (CA INDEX NAME)
MF C25 H26 F3 N O2 . Cl H
SR CA
LC STN Files: CA, CAPLUS
CRN (885105-14-6)

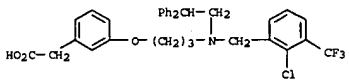


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

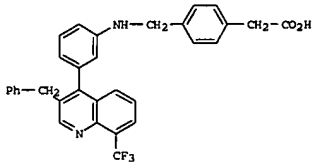
L2 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 871091-98-4 REGISTRY
ED Entered STN: 04 Jan 2006
CN Benzoic acid, 3-[3-[[[4-(difluoromethoxy)-3-methoxyphenyl]methyl]methylamino]-2-hydroxypropoxy]-, methyl ester (9CI) (CA INDEX NAME)
MF C21 H25 F2 N O6
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS

STN SEARCH FOR PREP'N OF NON FINAL REJECTION BEGINS ON PAGE 5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 726135-88-2 REGISTRY
ED Entered STN: 13 Aug 2004
CN 2-Propanol, 1-(4-chlorophenoxy)-3-[[(2'-methyl[1,1'-biphenyl]-4-yl)methyl]-2-propenylamino]- (9CI) (CA INDEX NAME)
MF C26 H28 Cl N O2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

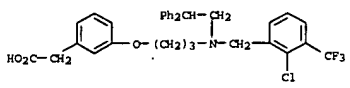


I

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

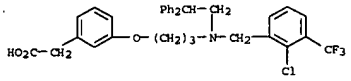
L2 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 612498-12-1 REGISTRY
ED Entered STN: 04 Nov 2003
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-methylpropyl)amino]propoxy]- (9CI) (CA INDEX NAME)
MF C23 H27 Cl F3 N O3
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

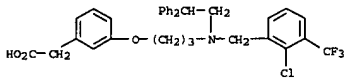
L2 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 610318-44-0 REGISTRY
ED Entered STN: 29 Oct 2003
CN Benzenepropanoic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α,α-dimethyl- (9CI) (CA INDEX NAME)
MF C36 H37 Cl F3 N O3
CI COM
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

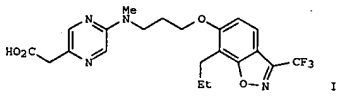
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 569351-17-3 REGISTRY
ED Entered STN: 19 Aug 2003
CN 1H-Indole-3-methanamine, N-[3-(4-fluorophenoxy)propyl]-N,1-dimethyl-(9CI) (CA INDEX NAME)
MF C20 H23 F N2 O
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 246259-62-1 REGISTRY



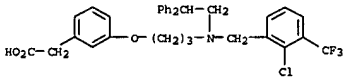
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=>
Uploading C:\Program Files\Stnexp\Queries\LXRAGONISTS Y=0.str

L3 STRUCTURE UPLOADED

=> D L3
L3 HAS NO ANSWERS
L3 STR



Structure attributes must be viewed using STN Express query preparation.

=> S L3
SAMPLE SEARCH INITIATED 07:39:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 65379 TO ITERATE

3.1% PROCESSED 2000 ITERATIONS 8 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1292352 TO 1322808
PROJECTED ANSWERS: 4260 TO 6200

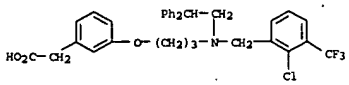
L4 8 SEA SSS SAM L3

=> D 1-8

L4 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 875405-44-0 REGISTRY
ED Entered STN: 28 Feb 2006
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[phenyl(phenylacetyl)amino]propyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C39 H36 N2 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

ED Entered STN: 05 Nov 1999
CN Methanesulfonamide, N-(6,7,8,9-tetrahydro-8-[[(2S)-2-hydroxy-3-phenoxypropyl](phenylmethyl)amino]-5H-benzocyclohepten-2-yl)-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H34 N2 O4 S
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

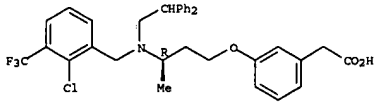
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 141676-75-7 REGISTRY
ED Entered STN: 05 Jun 1992
CN β-Alanine, N-methyl-N-phenyl-, 3-methylphenyl ester (9CI) (CA INDEX NAME)
MF C17 H19 N O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

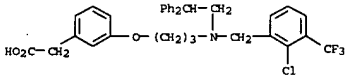


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 61554-04-9 REGISTRY
ED Entered STN: 16 Nov 1984
CN Acetamide, N-(2-benzoyl-4-chlorophenyl)-2-bromo-N-(2-methoxy-3-phenoxypropyl)- (9CI) (CA INDEX NAME)
MF C25 H23 Br Cl N O4
LC STN Files: CA, CAPLUS

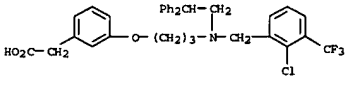
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

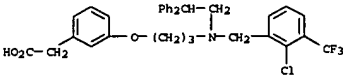
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 867299-55-6 REGISTRY
ED Entered STN: 11 Nov 2005
CN 2-Propanol, 1,1'-[(phenylmethyl)imino]bis[3-(2-fluorophenoxy)-(9CI) (CA INDEX NAME)
MF C25 H27 F2 N O4
SR Chemical Library
Supplier: Enamine
LC STN Files: CHEMCATS



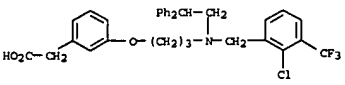
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 769055-32-5 REGISTRY
ED Entered STN: 25 Oct 2004
CN Benzenemethanaminium, 4-chloro-N,N-diethyl-N-[2-hydroxy-3-[4-[(4-methoxyphenyl)azo]phenoxy]propyl]-(9CI) (CA INDEX NAME)
MF C27 H33 Cl N3 O3
CI COM
SR CA



L4 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 405912-45-0 REGISTRY
ED Entered STN: 18 Apr 2002
CN Benzeneacetamide, 4-[3-[(2,2-diphenylethyl)[(3-methyl-2-thienyl)methyl]amino]propoxy]-(9CI) (CA INDEX NAME)
MF C31 H34 N2 O2 S

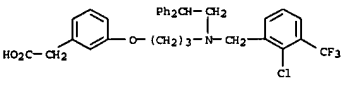
SR CA
LC STN Files: CA, CAPLUS, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

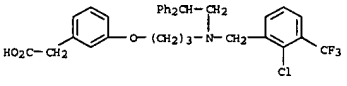
L4 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 251461-37-7 REGISTRY
ED Entered STN: 21 Dec 1999
CN Benzamide, N-[2-hydroxy-3-[2-(1-oxo-3-phenylpropyl)phenoxy]propyl]-N-propyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN GPV 366
MF C28 H31 N O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 87104-16-3 REGISTRY
ED Entered STN: 16 Nov 1984
CN 1,3-Benzenedicarbonitrile, 2-[[4-[ethyl(2-hydroxy-3-phenoxypropyl)amino]-5-methoxy-2-methylphenyl]azo]-5-nitro-(9CI) (CA INDEX NAME)
MF C27 H26 N6 O5
LC STN Files: CA, CAPLUS, USPATFULL

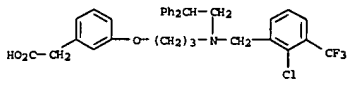


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

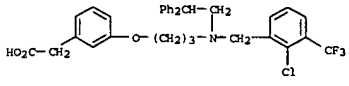
L4 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 62631-73-6 REGISTRY
ED Entered STN: 16 Nov 1984
CN Glycine, N-[2-hydroxy-3-(4-methylphenoxy)propyl]-N-(3-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)
MF C20 H25 N O5
LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L4 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2006 ACS on STN
RN 61554-04-9 REGISTRY
ED Entered STN: 16 Nov 1984
CN Acetamide, N-(2-benzoyl-4-chlorophenyl)-2-bromo-N-(2-methoxy-3-phenoxypropyl)- (9CI) (CA INDEX NAME)
MF C25 H23 Br Cl N O4
LC STN Files: CA, CAPLUS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> S L4 NOT L3
L4 MAY NOT BE USED HERE
The L-number entered was not created by a STRUCTURE or SCREEN command.

=> FILE CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	40.80	41.01

FILE 'CAPLUS' ENTERED AT 07:40:36 ON 23 OCT 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 23 Oct 2006 VOL 145 ISS 18
FILE LAST UPDATED: 22 Oct 2006 (20061022/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> S L4
L5 10 L4

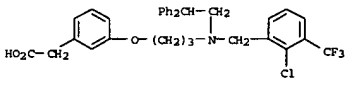
=> D 1-10 IBIB ABS HITSTR

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:99988 CAPLUS
DOCUMENT NUMBER: 144:192493
TITLE: Preparation of N-(benzoylphenyl)tyrosine derivatives as PPARγ modulators
INVENTOR(S): Serra Comas, Carmen; Fernandez Serrat, Anna; Balsa Lopez, Dolors; Masip Masip, Isabel; Catena Ruiz, Juan Lorenzo; Hidalgo Rodriguez, Jose; Lagunas Arnal, Carmen; Salcedo Roca, Carolina; Fernandez Garcia, Andres
PATENT ASSIGNEE(S): Laboratorios S.A.L.V.A.T., S.A., Spain
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010775	A1	20060202	WO 2005-EP53728	20050729
WO 2006010775	C1	20060615		

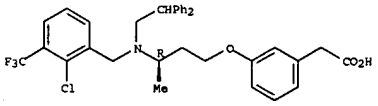
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: ES 2004-1966 A 20040730
OTHER SOURCE(S): MARPAT 144:192493
GI



AB The invention relates to tyrosine derivs. I [R is (CH2)2-3N(X-R1)-A-J-T, where X is null or CO; R1 is alkyl, haloalkyl, alkoxyalkyl, alkenyl, alkynyl, alk(en)(yn)ylene-Y (Y is a ring); A is alk(en)(yn)ylene or alk(en)(yn)ylene-Z (Z is a ring); J is a bond, (CH2)1-4, O, S, SO2, CO, etc.; T is H, alk(en)(yn)yl or Y], including stereoisomers and pharmaceutically-acceptable salts, which are PPARγ modulators and therefore are useful for the treatment or prevention of a condition or disease mediated by these receptors. Thus, (S)-2-(2-benzoylphenylamino)-3-[4-[3-[benzyl(3-phenylpropynoyl)amino]ethoxy]phenyl]propionic acid was prepared and Ki < 500 nM in the PPARγ affinity assay.
IT 875405-44-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(benzoylphenyl)tyrosine derivs. as PPARγ modulators)
RN 875405-44-0 CAPLUS
CN L-Tyrosine, N-(2-benzoylphenyl)-O-[3-[phenyl(phenylacetyl)amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

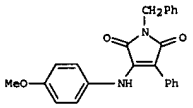
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:890063 CAPLUS
DOCUMENT NUMBER: 143:338960
TITLE: Interaction field based and hologram based QSAR analysis of propafenone-type modulators of multidrug resistance
AUTHOR(S): Kaiser, D.; Smiesko, M.; Kopp, S.; Chiba, P.; Ecker, G. F.
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of Vienna, Vienna, 1090, Austria
SOURCE: Medicinal Chemistry (2005), 1(5), 431-444
CODEN: MCEHAJ; ISSN: 1573-4064
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Overexpression of membrane bound, ATP-dependent transport proteins is one of the predominant mechanisms leading to multiple drug resistance in tumor therapy as well as in the treatment of bacterial and fungal infections. In tumor therapy, P-glycoprotein (P-gp, ABCB1) is responsible for transport of a wide variety of natural product toxins out of tumor cells leading to decreased accumulation of cytotoxic drugs within the cells.

Inhibition of P-gp thus gives rise to a resensitization of multidrug resistant tumor cells and represents a versatile approach for modulation of multidrug resistance. Within this paper, a set of propafenone-type inhibitors of P-gp were analyzed using both interaction field based methods such as Co-MFA and Co-MSIA and Hologram QSAR. With both methods, highly predictive models with q2-values > 0.65 were obtained. Models using logP as addnl. descriptor generally yielded higher predictive power. On basis of unfavorable steric and favorable electrostatic and hydrophobic interaction fields, these models were able to explain all outliers identified in previous Hansch-analyses. For HQSAR anal., models with q2-values up to 0.72 were obtained. Pos. influences were found for electron donating groups on the aromatic systems. Highly neg. influences were found for diphenylalkylamine substituents, which is a further hint for steric hindrance. The models with highest predictive power were used for screening of a small virtual library. Synthesis and pharmacol. testing of a subset of this library showed that the external predictivity of the HQSAR models generally is lower than the internal one.

IT 251461-37-7
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(interaction field based and hologram based QSAR anal. of propafenone-type modulators of multidrug resistance)

RN 251461-37-7 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[2-(1-oxo-3-phenylpropyl)phenoxy]propyl]-N-propyl- (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

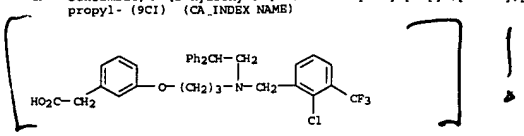
L5 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:527345 CAPLUS
DOCUMENT NUMBER: 142:190206
TITLE: Lead identification for modulators of multidrug resistance based on in silico screening with a pharmacophoric feature model
AUTHOR(S): Langer, Thierry; Eder, Monika; Hoffmann, Remy D.; Chiba, Peter; Ecker, Gerhard F.
CORPORATE SOURCE: Institute of Pharmacy, University of Innsbruck, Innsbruck, Austria
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2004), 337(6), 317-327
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Considerable effort has been devoted to the characterization of P-glycoprotein - drug interaction in the past. Systematic quant. structure-activity relationship (QSAR) studies identified both predictive physicochem. parameters and pharmacophoric substructures within homologous series of compds. Comparative mol. field anal. (CoMFA) led to distinct 3D-QSAR models for propafenone and phenothiazine analogs. Recently, several pharmacophore models have been generated for diverse sets of ligands. Starting from a training set of 15 propafenone-type MDR-modulators, we established a chemical function-based pharmacophore model. The pharmacophoric features identified by this model were (i) one hydrogen bond acceptor, (ii) one hydrophobic area, (iii) two aromatic hydrophobic areas, and (iv) one pos. ionizable group. In silico screening of the Derwent World Drug Index using the model led to identification of 28 compds. Substances retrieved by database screening are diverse in structure and include dihydropyridines, chloroquine analogs, phenothiazines, and terfenadine. On the basis of its general applicability, the presented 3D-QSAR model allows in silico screening of virtual compound libraries to identify new potential lead compds.

IT 251461-37-7, GPV 366
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(lead identification for MDR modulators of multidrug resistance based on in silico screening with a pharmacophoric feature model)

RN 251461-37-7 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[2-(1-oxo-3-phenylpropyl)phenoxy]propyl]-N-propyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

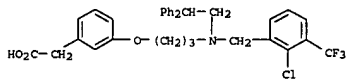
L5 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:398070 CAPLUS
DOCUMENT NUMBER: 140:35305
TITLE: Similarity based SAR (SIBAR) as tool for early ADME profiling
AUTHOR(S): Klein, Christian; Kaiser, Dominik; Kopp, Stephan; Chiba, Peter; Ecker, Gerhard F.
CORPORATE SOURCE: Institute of Pharmaceutical Chemistry, University of Vienna, Vienna, A-1090, Austria
SOURCE: Journal of Computer-Aided Molecular Design (2003), Volume Date 2002, 16(11), 785-793
CODEN: JCADEQ; ISSN: 0920-654X
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Estimation of bioavailability and toxicity at the very beginning of the drug development process is one of the big challenges in drug discovery. Most of the processes involved in ADME are driven by rather unspecific interactions between drugs and biol. macromols. Within the past decade, drug transport pumps such as P-glycoprotein (Pgp) have gained increasing interest in the early ADME profiling process. Due to the high structural diversity of ligands of Pgp, traditional QSAR methods were only successful within analogous series of compds. The authors used an approach based on similarity calcns. to predict Pgp-inhibitory activity of a series of propafenone analogs. This SIBAR approach is based on selection of a highly diverse reference compound set and calcn. of similarity values to these reference compds. The similarity values (denoted as SIBAR descriptors) are then used for PLS anal. The results show, that for a set of 131 propafenone type compds., models with good predictivity were obtained both in cross validation procedures and with a 31-compound external test set. Thus, these new descriptors might be a versatile tool for generation of predictive ADME models.

IT 251461-37-7
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(similarity based SAR (SIBAR) as tool for early ADME profiling used to predict P-glycoprotein-inhibitory activity of propafenone analogs)

RN 251461-37-7 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[2-(1-oxo-3-phenylpropyl)phenoxy]propyl]-N-propyl- (9CI) (CA INDEX NAME)

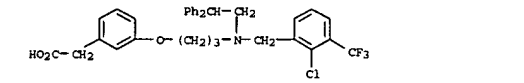


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:240713 CAPLUS
DOCUMENT NUMBER: 136:294650
TITLE: Preparation of substituted phenylacetamides and benzamides as agonists for Liver X receptors (LXR)
INVENTOR(S): Collins, Jon Loren; Fivush, Adam M.; Maloney, Patrick Reed; Stewart, Eugene L.; Willson, Timothy Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024632	A2	20020328	WO 2001-US27622	20010906
WO 2002024632	A3	20020711		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011216	A5	20020402	AU 2002-11216	20010906
EP 1318976	A2	20030618	EP 2001-979230	20010906
EP 1318976	B1	20041124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509161	T2	20040325	JP 2002-528647	20010906
AT 283253	E	20041215	AT 2001-979230	20010906
ES 2231700	T3	20050616	ES 2001-979230	20010906
US 2004072868	A1	20040415	US 2003-380932	20030318
US 2005282908	A1	20051222	US 2005-154852	20050616
PRIORITY APPLN. INFO.:			US 2000-233144P P	20000918
			WO 2001-US27622 W	20010906
			US 2003-380932 A1	20030318

OTHER SOURCE(S): MARPAT 136:294650
GI



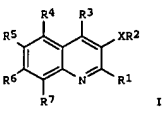
● HCl

AB The title compds. [I; X = OH, NH2; p = 0-6; R1, R2 = H, alkyl, alkoxy, thioalkyl; Z = CH, N; when Z = CH, k = 0-4; when Z = N, k = 0-3; R3 = halo, OH, alkyl, etc.; n = 2-8; q = 0-1; R4 = H, alkyl, alkenyl, alkenyloxy; A = cycloalkyl, aryl, 4-8 membered heterocycle, 5-6 membered heteroaryl; B = cycloalkyl, aryl] and their pharmaceutically acceptable salts, useful for the prevention or treatment of an LXR mediated disease and condition such as cardiovascular disease and atherosclerosis (no biol. data given), were prepared E.g., a solid phase synthesis of II was given.

IT 405912-45-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted phenylacetamides and benzamides as agonists for Liver X receptors (LXR))

RN 405912-45-0 CAPLUS

CN Benzeneacetamide, 4-[3-[(2,2-diphenylethyl)[(3-methyl-2-thienyl)methyl]amino]propoxy]- (9CI) (CA INDEX NAME)



I

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:644579 CAPLUS
DOCUMENT NUMBER: 132:8709
TITLE: The importance of a nitrogen atom in modulators of multidrug resistance
AUTHOR(S): Ecker, G.; Huber, M.; Schmid, D.; Chiba, P.
CORPORATE SOURCE: Institutes of Pharmaceutical Chemistry, University of Vienna, Austria
SOURCE: Molecular Pharmacology (1999), 56(4), 791-796
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English

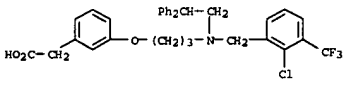
AB The presence of a nitrogen atom, charged at physiol. pH, has frequently been considered to be a hallmark of P-glycoprotein (PGP) inhibitors, although certain steroids, such as progesterone, lack a nitrogen atom and still are active modulators of PGP. The present study was aimed at investigating the role the nitrogen atom plays in the activity of PGP inhibitors. Propafenone-related amines, anilines, and amides that cover a broad range of pKa values, as well as an ester, were synthesized and

tested for multidrug resistance-reverting activity. The sum of the hydrogen bond acceptor strengths was calculated and correlated with EC50 values for PGP inhibition. For the complete set of 12 compds., an excellent correlation between these two parameters was found; this included the ester GP570, which lacks a nitrogen atom but contains the strong hydrogen bond-accepting ester unit. The interaction of the nitrogen atom with PGP therefore is nonional and is determined by the sum of the hydrogen acceptor strengths of the region. The high predictivity of the obtained model is demonstrated in a leave-one-out cross-validation procedure.

IT 251461-37-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(importance of a nitrogen atom vs. hydrogen acceptor strength in modulators of multidrug resistance)

RN 251461-37-7 CAPLUS

CN Benzamide, N-[2-hydroxy-3-[2-(1-oxo-3-phenylpropyl)phenoxy]propyl]-N-propyl- (9CI) (CA INDEX NAME)

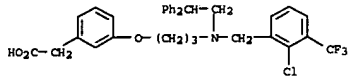


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:524075 CAPLUS
DOCUMENT NUMBER: 99:124075
TITLE: Azo disperse dyes
INVENTOR(S): Koerte, Klaus
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3245977	A1	19830630	DE 1982-3245977	19821211
DE 3245977	C2	19901129		
CH 645912	A	19841031	CH 1981-8159	19811221
CH 645913	A	19841031	CH 1981-8160	19811221
FR 2518558	A1	19830624	FR 1982-21006	19821213
FR 2518558	B1	19861205		
US 4609727	A	19860902	US 1982-450289	19821216
GB 2113240	A1	19830803	GB 1982-36054	19821217
GB 2113240	B2	19841205		
JP 58111860	A2	19830704	JP 1982-222193	19821220
PRIORITY APPLN. INFO.:			CH 1981-8159 A	19811221
			CH 1981-8160 A	19811221

OTHER SOURCE(S): MARPAT 99:124075
GI

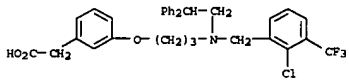


AB Fast blue dyes (I) for rapid dyeing of polyester fibers are prepared In structure I, groups R, R2, and R3 represent alkyl, R1 is a substituted alkyl group, R4 is NO2 or CN, and R5 is CN or halogen. Thus, diazotization of 2,4,6-Br(O2N)2C6H2NH2 [1817-73-8] and coupling with 5,2-Me(MeO)C6H3NMeCH2CH(OH)CH2OPh [87104-51-6] gave I [R = R2 = R3 = Me, R1 = CH2CH(OH)CH2OPh, R4 = NO2, R5 = Br] [87104-50-5], a navy blue dye. Other I (102) and their λmax are reported.

IT 87104-16-3
RL: TEM (Technical or engineered material use); USES (Uses)
(dye, for polyester fibers)

RN 87104-16-3 CAPLUS

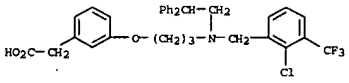
CN 1,3-Benzenedicarbonitrile, 2-[[4-[ethyl(2-hydroxy-3-phenoxypropyl)amino]-5-methoxy-2-methylphenyl]azo]-5-nitro-(9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:584964 CAPLUS
DOCUMENT NUMBER: 87:184964
TITLE: Carboxylic acid derivatives
INVENTOR(S): Murai, Hiromu; Ohata, Katsuya; Enomoto, Hiroshi; Chokai, Shoichi; Maehara, Mitsuhiro; Saito, Katsuhide; Ozaki, Takayuki
PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 52083614	A2	19770712	JP 1976-237	19760101
JP 56037216	B4	19810829		
PRIORITY APPLN. INFO.:			JP 1976-237 A	19760101

GI

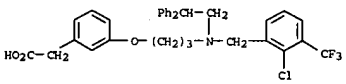


AB Sixty-six glycine derivs. I [R = H, 4-Cl, 3-Cl, 4-Me, 3-MeO, 4-MeO2C; R1 = H, 4-Cl, 4-Me, 4-MeO, 4-MeO2C, 4-Br, 4-F, 4-CMe3, 3-Me, 2-Cl; R2 = ONa, OH, alkoxy, O(CH2)2OH, O(CH2)2OMe, NH2, alkylamino, morpholino, piperidino; R3 = H, Me, Ac, nicotinoyl, Bz, HO2C(CH2)2; X = O, S] were prepared by cleaving II with H2O, alcs., or amines followed by acylating if needed. I had serum-cholesterol and -trigylceride reducing activity in rats. Thus, refluxing 30 g II (R = H, R1 = 4-Cl, X = O) in MeOH 20 h gave 67.5% I (R = R3 = H, R1 = 4-Cl, R2 = OMe, X = O).

IT 62631-73-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 62631-73-6 CAPLUS

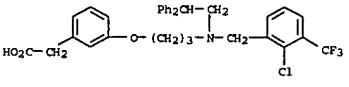
CN Glycine, N-[2-hydroxy-3-(4-methylphenoxy)propyl]-N-(3-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:171107 CAPLUS
DOCUMENT NUMBER: 86:171107
TITLE: Carboxylic acid derivatives
INVENTOR(S): Murai, Hiromu; Ohata, Katsuya; Enomoto, Hiroshi; Chokai, Shoichi; Maehara, Mitsuhiro; Saito, Katsuhide; Ozaki, Takayuki
PATENT ASSIGNEE(S): Nippon Shinyaku Co., Ltd., Japan
SOURCE: Ger. Offen., 21 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2624569	A1	19770113	DE 1976-2624569	19760601
JP 51149234	A2	19761222	JP 1975-74014	19750617
JP 54009183	B4	19790421		
JP 51149235	A2	19761222	JP 1975-74015	19750617
JP 54009184	B4	19790421		
GB 1493756	A	19771130	GB 1976-22541	19760601
CH 623563	A	19810615	CH 1976-7465	19760611
NL 7606380	A	19761221	NL 1976-6380	19760614
NL 169584	B	19820301		
NL 169584	C	19820802		
AT 345797	B	19781010	AT 1976-4317	19760614
AT 345800	B	19781010	AT 1976-4318	19760614
CH 622492	A	19810415	CH 1976-7547	19760614
DK 7602698	A	19761218	DK 1976-2698	19760616
DK 146363	B	19830919		
DK 146363	C	19840227		
SE 7606857	A	19761218	SE 1976-6857	19760616
SE 429962	B	19831010		
SE 429962	C	19840126		
FR 2314710	A1	19770114	FR 1976-18294	19760616
FR 2314710	B1	19790928		
ES 448932	A1	19770701	ES 1976-448932	19760616
ES 448933	A1	19770701	ES 1976-448933	19760616
CA 1056839	A1	19790619	CA 1976-254950	19760616
BE 843075	A1	19761018	BE 1976-168031	19760617
DD 125654	C	19770511	DD 1976-193421	19760617
ZA 7603612	A	19770525	ZA 1976-3612	19760617
DD 125905	C	19770601	DD 1976-193419	19760617
US 4162331	A	19790724	US 1977-762021	19770124
CH 623805	A	19810630	CH 1980-2990	19800417
PRIORITY APPLN. INFO.:			JP 1975-74014 A	19750617
			JP 1975-74015 A	19750617
			US 1976-692878 A3	19760604
			CH 1976-7547 A	19760614

OTHER SOURCE(S): MARPAT 86:171107
GI

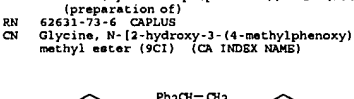


AB Aminocarboxylates I [R = OR4 (R4 = Na, H, Me, Et, Pr, CHMe2, CH2CH2OH, CH2CH2OMe, Bu), NHR5 (R5 = Me, CHMe2, CH2CH2OH, CH2CO2Et, CH2Ph, CHMePh, C6H3Me2-2,6), NMe2, NEt2, morpholino, piperidino; R1 = H, Cl, 4-Me, 3-MeO, 4-CO2Me, 4-CO2Et; R2 = H, Cl, 4-Br, 4-F, 4-CMe3, Me, 4-CO2Me, 4-MeO; R3 = H, Z = O, S] (49 compds.) were prepared by RH solvolysis of morpholinones II. Acylation of I [R = OR4 (R4 = Me, Et, Pr, CHMe2, Bu, H), R1 = H, R2 = 4-Cl, 4-CMe3, R3 = H, Z = O] and I [R = OMe, R1 = H, R2 = 4-Cl, R3 = H, Z = S] gave the corresponding I (R3 = Ac, nicotinoyl) (13 compds.). Also prepared were I (R = OH, R1 = H, R2 = 4-Cl, R3 = Me, Z = O) and the Ca and Al salts of I (R = OH, R1 = R3 = H, R2 = 4-Cl, Z = O). Selected I, at 100 mg/kg/day, lowered the serum cholesterol of rats 12.3-39.1% and serum triglycerides 51.3-72.0%. A few I, at 10 mg/kg/day, gave cholesterol and triglyceride loweringe of 15.5-22.9 and 36.5-53.6%, resp.

IT 62631-73-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

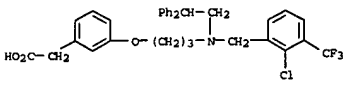
RN 62631-73-6 CAPLUS

CN Glycine, N-[2-hydroxy-3-(4-methylphenoxy)propyl]-N-(3-methoxyphenyl)-, methyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:55401 CAPLUS
DOCUMENT NUMBER: 86:55401
TITLE: 1,4-Benzodiazepines. XI. Synthetic studies on 1,4-benzodiazepines. Preparation of various N(1)-substituted-7-chloro-1,3-H-5-phenyl-1,4-benzodiazepines and their 2-deoxo derivatives
AUTHOR(S): Kajfez, Franjo; Oklobdzija, Milan; Mihalic, Mladen; Sunjic, Vitomir; Blazevic, Nikola
CORPORATE SOURCE: Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia

SOURCE: Acta Pharmaceutica Jugoslavica (1976), 26(3), 199-207
CODEN: APJUA8; ISSN: 0001-6667
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 86:55401
GI

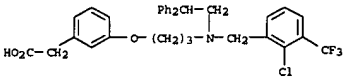


AB Benzodiazepinones I (R = H, Me, R1 = H, CH2OC6H4Cl-2, CH2OPh; R = H, R1 = CH2OC6H4Cl-4, CH2OC6H4Me-3; R = Me, R1 = CH2OC6H4OMe-2, CH2OMe) were prepared by treating 2,4-BzClC6H3NHCH2CHR1OR with BrCH2COBr, and cyclizing 2,4-BzClC6H3N(COCH2Br)CH2CHR1OR with hexamine. II [R2 = CH2CH(OH)CH2OH, R3 = H, R4 = Cl, NO2, R3 = Me, R4 = Cl; R2 = 2,3-epoxypropyl, R3 = H, R4 = Cl] were prepared by N-alkylating II (R2 = H) with epibromohydrin. III (R5 = 2-Me, 3-Me, 3-Ph, H, 3-CH2OPh) were prepared by brominating 2,4-BzClC6H3NMeCH2CHR5OH and cyclizing the bromo derivs. with hexamine.

IT 61554-04-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with hexamine)

RN 61554-04-9 CAPLUS

CN Acetamide, N-(2-benzoyl-4-chlorophenyl)-2-bromo-N-(2-methoxy-3-phenoxypropyl)- (9CI) (CA INDEX NAME)



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NEWS	4	OCT 30	CHEMLIST enhanced with new search and display field
NEWS	5	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS	6	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS	7	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS	8	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS	9	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS	10	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS	11	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS	12	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS	13	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS	14	DEC 18	CA/CAplus patent kind codes updated
NEWS	15	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS	16	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS	17	DEC 27	CA/CAplus enhanced with more pre-1907 records
NEWS	18	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	19	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS	20	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	21	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	22	JAN 22	CA/CAplus updated with revised CAS roles
NEWS	23	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS	24	JAN 29	PHAR reloaded with new search and display fields
NEWS	25	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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=> FILE REG

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FULL ESTIMATED COST	0.21	0.21

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DICTIONARY FILE UPDATES: 29 JAN 2007 HIGHEST RN 918776-45-1

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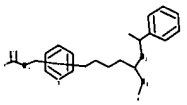

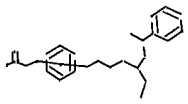

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ring nodes :
1 2 3 4 5 6 30 31 32 33 34 35
ring/chain nodes :
36
chain bonds :
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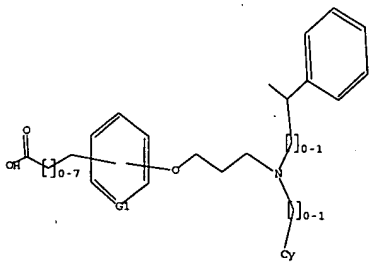
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 30-31 30-35 31-32 32-33 33-34 34-35
exact/norm bonds :
1-2 1-6 7-18 9-10 9-13 18-19 19-20 20-21 21-22 21-26 22-23 23-30 23-36 26-27
normalized bonds :
2-3 3-4 4-5 5-6 10-11 10-12 30-31 30-35 31-32 32-33 33-34 34-35

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 26:CLASS 27:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:CLASS

L1 STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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L2 9450 L1`
('L1')

=> S L1
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SAMPLE SCREEN SEARCH COMPLETED - 13045 TO ITERATE

15.3% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 254058 TO 267742
PROJECTED ANSWERS: 1 TO 283

L3 1 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 12:45:24 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 262734 TO ITERATE

97.5% PROCESSED 256189 ITERATIONS 151 ANSWERS

100.0% PROCESSED 262734 ITERATIONS 151 ANSWERS
SEARCH TIME: 00.00.26

L4 151 SEA SSS FUL L1

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	ENTRY	SESSION
FULL ESTIMATED COST	180.20	180.41

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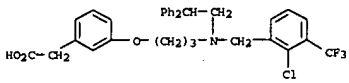
=> S L4
L5 45 L4

=> D 1-45 IBIB ABS HITSTR

L5 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1272920 CAPLUS
TITLE: A Nuclear Receptor Corepressor-Dependent Pathway Mediates Suppression of Cytokine-Induced C-Reactive Protein Gene Expression by Liver X Receptor
AUTHOR(S): Blaschke, Florian; Takata, Yasunori; Caglayan, Evren; Collins, Alan; Tontonoz, Peter; Hsueh, Willa A.; Tangirala, Rajendra K.
CORPORATE SOURCE: Division of Endocrinology, Diabetes and Hypertension, David Geffen School of Medicine, University of California, Los Angeles, Germany
SOURCE: Circulation Research (2006), 99(12), e88-e99
CODEN: CIRUAL; ISSN: 0009-7330
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB C-reactive protein (CRP), the prototypical human acute phase protein, is an independent risk predictor of future cardiovascular events, both in healthy individuals and in patients with known cardiovascular disease. In addition, previous studies indicate that CRP might have direct proatherogenic properties. Ligand activation of the liver X receptor (LXR), a member of the nuclear hormone receptor superfamily, inhibits inflammatory gene expression in macrophages and attenuates the development of atherosclerosis in various animal models. The authors demonstrate herein that 2 synthetic LXR ligands, T0901317 and GW3965, inhibit interleukin-1β/interleukin-6-induced CRP mRNA and protein expression in human hepatocytes. Knockdown of LXRα/β by short interfering RNAs completely abolished the inhibitory effect of the LXR agonist T0901317 on cytokine-induced CRP gene transcription. Transient transfection expts. with 5'-deletion CRP promoter constructs identified a region from -125 to -256 relative to the initiation site that mediated the inhibitory effect of LXR ligands on CRP gene transcription. Depletion of the nuclear receptor corepressor by specific short interfering RNA increased cytokine-inducible CRP mRNA expression and promoter activity and reversed LXR ligand-mediated repression of CRP gene transcription. Chromatin immunopptn. assays indicated that nuclear receptor corepressor is present on the endogenous CRP promoter under basal conditions. Cytokine-induced clearance of nuclear receptor corepressor complexes was inhibited by LXR ligand treatment, maintaining the CRP gene in a repressed state. Finally, treatment of C57BL/J mice with LXR ligands attenuated lipopolysaccharide-induced mouse CRP and serum amyloid P component gene expression in the liver, whereas no effect was observed in LXRα/β knockout mice. These observations identify a novel mechanism of inflammatory gene regulation by LXR ligands. Thus, inhibition of CRP expression by LXR agonists may provide a promising approach to impact initiation and progression of atherosclerosis.
IT 405911-09-3, GW3965
RL: BSU (Biological study, unclassified); BIOL (Biological study) (liver X receptor-induced suppression of inflammatory cytokine-induced C-reactive protein gene expression is mediated by nuclear receptor corepressor)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

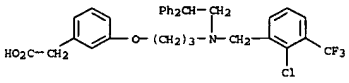


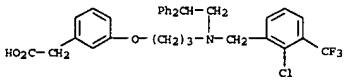
L5 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1207196 CAPLUS
DOCUMENT NUMBER: 145:495700
TITLE: Use of liver x receptor agonists
INVENTOR(S): Husson, Bernadette
PATENT ASSIGNEE(S): Laboratoires Fournier S. A., Fr.
SOURCE: PCT Int. Appl., 43pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006120213	A2	20061116	WO 2006-EP62208	20060510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-679768P P 20050510
AB The present invention generally relates to a novel therapeutical use of liver X receptor (LXR) agonsits. More specifically, the present invention relates to the use of LXR agonist for the preparation of a medicament useful for the treatment and/or the prevention of a disease associated with beta cells degeneration, such as diabetes, and a method for increasing ex vivo viability of pancreatic islet cells, comprising contacting said islet cells with a LXR agonist. Thus, effect of GW3965 50 mg/kg on the regeneration of beta cells in NOD mice were evaluated.
IT 405911-09-3, GW3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of liver x receptor agonists)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

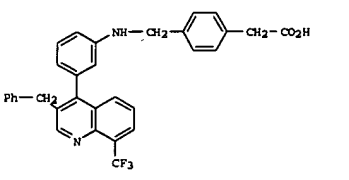




L5 ANSWER 3 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:993850 CAPLUS
DOCUMENT NUMBER: 145:431974
TITLE: Tissue-specific induction of intestinal ABCA1 expression with a liver X receptor agonist raises plasma HDL cholesterol levels
AUTHOR(S): Brunham, Liam R.; Kruit, Janine K.; Pape, Terry D.; Parker, John S.; Kuipers, Folkert; Hayden, Michael R.
CORPORATE SOURCE: Centre for Molecular Medicine and Therapeutics, Child and Family Research Institute, Department of Medical Genetics, University of British Columbia, Vancouver, BC, Can.
SOURCE: Circulation Research (2006), 99(7), 672-674
CODEN: CIRUAL; ISSN: 0009-7330
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB ABCA1 controls the rate-limiting step in HDL particle formation and is therefore an attractive mol. target for raising HDL levels and protecting against atherosclerosis. Intestinal ABCA1 significantly and independently contributes to blood plasma HDL cholesterol levels in mice, suggesting that induction of intestinal ABCA1 expression may raise plasma HDL cholesterol levels. We evaluated the ability of a synthetic Liver X Receptor (LXR) agonist, GW3965, to raise plasma HDL cholesterol levels in control mice and mice with liver- or intestinal-specific deletion of the Abca1 gene. Oral treatment with GW3965 increased the expression of ABCA1 by ≈6-fold as well as other LXR target genes in the intestines of mice, with no change in the hepatic expression of these genes. This resulted in a significant ≈48% elevation of plasma HDL cholesterol levels in wild-type mice with no change in plasma triglycerides. A similar increase in HDL cholesterol was observed in mice lacking hepatic ABCA1, indicating that the increase in plasma HDL cholesterol was independent of hepatic ABCA1. This effect was completely abrogated in mice lacking intestinal ABCA1. These data indicate that intestinal ABCA1 may be an attractive therapeutic target for raising HDL levels while avoiding the hepatic lipogenesis and hypertriglyceridemia typical of systemic LXR activation.
IT 405911-09-3, GW3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(induction of intestinal ABCA1 raises HDL cholesterol levels)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:937658 CAPLUS
DOCUMENT NUMBER: 145:410048
TITLE: Discovery of Phenyl Acetic Acid Substituted Quinolines as Novel Liver X Receptor Agonists for the Treatment of Atherosclerosis
AUTHOR(S): Hu, Baihua; Collini, Michael; Unwalla, Rayomand; Miller, Christopher; Singhaus, Robert; Quinet, Elaine; Savio, Dawn; Halpern, Anita; Basso, Michael; Keith, James; Clerin, Valerie; Chen, Liang; Resmini, Christine; Liu, Qiang-Yuan; Feingold, Irene; Huselton, Christine; Azam, Farooq; Farnegardh, Mathias; Enroth, Cristofer; Bonn, Tomas; Goos-Nilsson, Annika; Wilhelmsson, Anna; Nambi, Ponnal; Wrobel, Jay
CORPORATE SOURCE: Chemical and Screening Science, Cardiovascular and Metabolic Disease, and Bio Transformation and Disposition, Wyeth Research, Collegeville, PA, 19426, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(21), 6151-6154
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

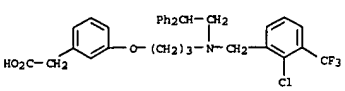
AB A structure-based approach was used to optimize our new class of quinoline LXR modulators leading to Ph acetic acid substituted quinolines 15 and 16 (I). Both compds. displayed good binding affinity for LXRβ and LXRα and were potent activators in LBD transactivation assays. The compds. also increased expression of ABCA1 and stimulated cholesterol

efflux in THP-1 cells. Quinoline 16 showed good oral bioavailability and in vivo efficacy in a LDLr knockout mouse model for lesions.

IT 405911-09-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phenylacetate quinolines as liver X receptor agonists for treatment of atherosclerosis)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:733310 CAPLUS
DOCUMENT NUMBER: 145:159814
TITLE: Use of LXR ligands for the modulation of dendritic cells (DCS)
INVENTOR(S): Belanger, Carole; Darteil, Raphaeel; Hum, Dean
PATENT ASSIGNEE(S): Genfit S.A., Fr.
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006077012	A2	20060727	WO 2006-EP43	20060105
WO 2006077012	A3	20061102		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

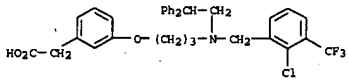
PRIORITY APPLN. INFO.: EP 2005-888 A 20050118

AB The present invention relates to the use of LXR (liver X receptor) in methods for identifying compds. which interfere with DC differentiation and/or maturation and to methods to identify LXR-mediated, DC-specific anti-inflammatory genes. Non-human mammalian animals may be used as in vivo model systems for identifying LXR binding compds. (in particular LXR agonists) inhibiting or preventing T cell activation, Th2-cytokine secretion, recruitment of inflammatory cells to the BAL fluid, and/or, peribronchial and/or perivascular infiltration of inflammatory cells. The present invention also discloses the use of an LXR agonist to prepare a medicament for the treatment of diseases or disorders wherein the inhibition or the prevention of DC differentiation and/or maturation, recruitment of inflammatory cells to the BAL fluid, Th2-cytokine secretion, and/or, peribronchial and/or perivascular infiltration of inflammatory cells is aimed atomic The present invention finally relates to Dendritic Cell composition or DC precursor composition and to uses of these compns.
to study the recruitment of inflammatory cells to the BAL fluid, Th2-cytokine secretion, and/or, peribronchial and/or perivascular infiltration of inflammatory cells in a model organism.

IT 405911-09-3, GW3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver X receptor agonist; use of liver X receptor (LXR) agonists for modulation of dendritic cells for treatment of diseases)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:656076 CAPLUS
DOCUMENT NUMBER: 145:117357
TITLE: Compounds that activate liver X receptor and retinoid X receptor and thereby prevent macrophage apoptosis during pathogen infection
INVENTOR(S): Glass, Christopher K.; Valledor, Annabel E.; Karin, Michael; Hsu, Li-Chung
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071451	A2	20060706	WO 2005-US43616	20051202
WO 2006071451	A9	20060824		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

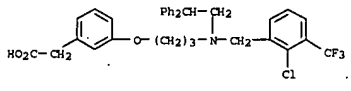
PRIORITY APPLN. INFO.: US 2004-632905P P 20041203

AB The present invention is based on the discovery that activation of liver X receptors (LXRs) and retinoid X receptors (RXRs) inhibits apoptotic responses of macrophages, thereby protecting macrophages from pathogen-induced apoptosis. AIM (apoptosis inhibitor expressed by macrophages) is synergistically induced by LXR and RXR agonists and contributes to their anti-apoptotic effects. Addnl. candidate anti-apoptotic genes involved in the effects of LXR/RXR agonists on macrophage survival are also identified, including Bircla, Bcl-XL, DNase I-like 3 (DnaseIL3), caspase 1, caspase 4, caspase 7, caspase 11, caspase 12, Fas ligand, cell death-inducing DFFA-like effector A (CIDE-A), and peptidoglycan recognition protein (Tag7). Thus, the present invention relates to microbial infection, and in particular, the reduction of apoptosis associated with microbial infection, the screening of LXR agonists and/or RXR agonists that reduce apoptosis, and the treatment and anal. of microbial infection in vivo. Activating agents may include proteins, peptides, peptidomimetics, nucleic acids, and small mol. agonists such as 24S,25-epoxycholesterol, T1317, GW3965, and 9-cis-retinoic acid.

IT 405911-09-3, GW3965
RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(agonists; compds. that activate liver X receptor and retinoid X receptor and thereby prevent macrophage apoptosis during pathogen infection)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



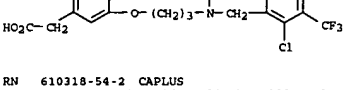
L5 ANSWER 7 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:583211 CAPLUS
DOCUMENT NUMBER: 145:117081
TITLE: Assessing the effects of LXR agonists on cellular cholesterol handling: a stable isotope tracer study
AUTHOR(S): Aravindhan, Karpagam; Webb, Christine L.; Jaye, Michael; Ghosh, Avijit; Willette, Robert N.; DiNardo, N. John; Jucker, Beat M.
CORPORATE SOURCE: Department of Applied Physics, College of Arts and Sciences, Drexel University, Philadelphia, PA, 19104, USA
SOURCE: Journal of Lipid Research (2006), 47(6), 1250-1260
CODEN: JLPRAW; ISSN: 0022-2275
PUBLISHER: American Society for Biochemistry and Molecular Biology, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The liver X receptors (LXRs) α and β are responsible for the transcriptional regulation of a number of genes involved in cholesterol efflux from cells and therefore may be mol. targets for the treatment of cardiovascular disease. However, the effects of LXR ligands on cholesterol turnover in cells has not been examined comprehensively. In this study, cellular cholesterol handling (e.g., synthesis, catabolism, influx, and efflux) was examined using a stable isotope labeling study and a two-compartment modeling scheme. In HepG2 cells, the incorporation of 13C into cholesterol from [1-13C]acetate was analyzed by mass isotopomer distribution anal. in conjunction with nonsteady state, multicompartment kinetic anal. to calculate the cholesterol fluxes. Incubation with synthetic, nonsteroidal LXR agonists (GW 3965, T 0901317, and SB 742881) increased cholesterol synthesis (.apprx.10-fold), decreased cellular cholesterol influx (71-82%), and increased cellular cholesterol efflux (1.7- to 1.9-fold) by 96 h. As a consequence of these altered cholesterol fluxes, cellular cholesterol decreased (36-39%) by 96 h. The increased cellular cholesterol turnover was associated with increased expression of the LXR-activated genes ABCA1, ABCG1, FAS, and sterol-regulatory element binding protein 1c. In summary, the math. model presented allows time-dependent calcns. of cellular cholesterol fluxes. These data demonstrate that all of the cellular cholesterol fluxes were altered by LXR activation and that the increase in cholesterol synthesis did not compensate for the increased cellular cholesterol efflux, resulting in a net cellular cholesterol loss.

IT 405911-09-3, GW 3965 610318-54-2, SB 742881
RL: PAC (Pharmacological activity); BIOL (Biological study)
(LXR receptor agonists effect on cellular cholesterol flux and increased LXR-activated gene expression)

RN 405911-09-3 CAPLUS

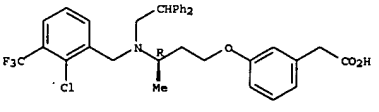
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



RN 610318-54-2 CAPLUS

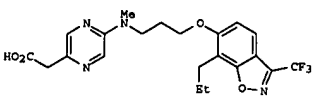
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:398377 CAPLUS
DOCUMENT NUMBER: 145:95757
TITLE: SAR studies: Designing potent and selective LXR agonists
AUTHOR(S): Szewczyk, Jason W.; Huang, Shaei; Chin, Jayne; Tian, Jenny; Mitnaul, Lyndon; Rosa, Raymond L.; Peterson, Larry; Sparrow, Carl P.; Adams, Alan D.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Merck & Co., Inc., Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(11), 3055-3060
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:95757
GI



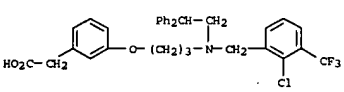
I

AB (propyl)(trifluoromethyl)benzisoxazolyloxypropyl-substituted arylcarboxylic and heteroarylcarboxylic acids such as I (and a related N-methylaniline) are prepared as selective LXR agonists for increasing HDL levels and reverse cholesterol transport; the title compds. are selective for LXR over PPAR isoforms α, δ, and γ. Selected title compds. are tested for increases in HDL levels and reverse cholesterol transport and for their pharmacokinetics in mice; in some cases, the compds. lead to increases in serum triglyceride levels and the development of steatosis (fatty liver).

IT 405911-09-3I, GW3965
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (propyl)(trifluoromethyl)benzisoxazolyloxypropyl-substituted arylacetic and heteroarylacetic acids as selective LXR agonists for increasing HDL levels and reverse cholesterol transport)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:172464 CAPLUS

DOCUMENT NUMBER: 145:117301

TITLE: Activation of the liver X receptor protects against hepatic injury in endotoxemia by suppressing Kupffer cell activation

AUTHOR(S): Wang, Yun Yong; Dahle, Maria K.; Aagren, Joanna; Myhre, Anders E.; Reinholt, Finn P.; Foster, Simon J.; Collins, Jon L.; Thiemermann, Christoph; Aasen, Ansgar O.; Wang, Jacob E.

CORPORATE SOURCE: Faculty Division Rikshospitalet, Institute for Surgical Research, University of Oslo, Oslo, Norway

SOURCE: Shock (2006), 25(2), 141-146
CODEN: SAGUAI; ISSN: 1073-2322

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

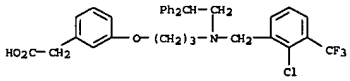
LANGUAGE: English

AB Recent reports have demonstrated that liver X receptors (LXRs) of the nuclear receptor family have anti-inflammatory effects on macrophages. Here we examine whether activation of LXR by the synthetic agonist GW3965 can ameliorate the liver injury/dysfunction caused by endotoxins in the rat. Male Wistar rats received GW3965 (0.3 mg/kg) or vehicle (50% DMSO) 30 min before coadministration of lipopolysaccharide (LPS, 5 mg/kg i.v.) and peptidoglycan (1 mg/kg i.v.). Treatment with GW3965 attenuated the increase in the plasma levels of alanine aminotransferase and bilirubin (markers of liver injury/dysfunction) as well as the focal hepatocyte necrosis (histol.) caused by coadministration of LPS and peptidoglycan. This protective effect of GW3965 treatment was associated with reduced infiltration of mast cells in the liver (histopathol.) and reduced gene expression of the chemokines eotaxins 1 and 2, whereas MIP-2 mRNA levels were not affected. Plasma levels of tumor necrosis factor α and prostaglandin E2 were significantly attenuated by GW3965, whereas plasma interleukins 6 and 10 were not altered. High expression of LXRα mRNA was observed in Kupffer cell cultures, suggesting that Kupffer cells are targets of GW3965. Subsequent in vitro studies in Kupffer cells demonstrated that exposure to GW3965 attenuated the LPS-induced release of tumor necrosis factor α and prostaglandin E2 in a dose-dependent manner. In conclusion, this study demonstrates that activation of LXR by GW3965 protects against liver injury and dysfunction in a rat model of endotoxemia, in part by exerting an anti-inflammatory effect on Kupffer cells.

IT 405911-09-3, GW3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(GW3965 pretreatment before LPS/PepG injection reduced hepatic injury, mast cell count, plasma TNF-α and PGE2 level in liver of rat model of endotoxemia, GW3965 inhibited LPS-induced TNF-α and PGE2 release in rat Kupffer cell culture)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:163732 CAPLUS

DOCUMENT NUMBER: 144:305276

TITLE: A Novel Principle for Partial Agonism of Liver X Receptor Ligands: competitive recruitment of activators and repressors

AUTHOR(S): Albers, Michael; Blume, Beatrix; Schlueter, Thomas; Wright, Matthew B.; Kober, Ingo; Kremoser, Claus; Deuschle, Ulrich; Koegl, Manfred

CORPORATE SOURCE: PheneX Pharmaceuticals AG, Ludwigshafen, 67056, Germany

SOURCE: Journal of Biological Chemistry (2006), 281(8), 4920-4930
CODEN: JBCHA3; ISSN: 0021-9258

PUBLISHER: American Society for Biochemistry and Molecular Biology

DOCUMENT TYPE: Journal

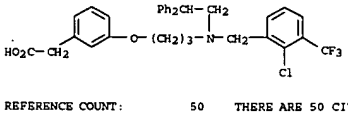
LANGUAGE: English

AB Partial, selective activation of nuclear receptors is a central issue in mol. endocrinol. but only partly understood. Using LXRs as an example, we show here that purely agonistic ligands can be clearly and quant. differentiated from partial agonists by the cofactor interactions they induce. Although a pure agonist induces a conformation that is incompatible with the binding of repressors, partial agonists such as GW3965 induce a state where the interaction not only with coactivators, but also corepressors is clearly enhanced over the unliganded state. The activities of the natural ligand 22(R)-hydroxycholesterol and of a novel quinazolinone ligand, LN6500 can be further differentiated from GW3965 and T0901317 by their weaker induction of coactivator binding. Using biochem. and cell-based assays, we show that the natural ligand of LXR is a comparably weak partial agonist. As predicted, we find that a change in the coactivator to corepressor ratio in the cell will affect NCoR recruiting compds. more dramatically than NCoR-dissociating compds. Our data show how competitive binding of coactivators and corepressors can explain the tissue-specific behavior of partial agonists and open up new routes to a rational design of partial agonists for LXRs.

IT 405911-09-3, GW3965
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(competitive recruitment of activators and repressors as novel principle for partial agonism of liver X receptor ligands)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:32063 CAPLUS

DOCUMENT NUMBER: 144:121798

TITLE: Tissue factor production inhibitors containing LXR ligands

INVENTOR(S): Terasaka, Naoki; Hiroshima, Ayano

PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006004030	A1	20060112	WO 2005-JP12185	20050701

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2004-196468 A 20040702

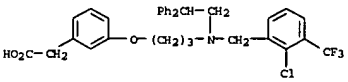
OTHER SOURCE(S): MARPAT 144:121798

AB Disclosed is a pharmaceutical having the potency of inhibiting the production of tissue factors, which pharmaceutical comprises an LXR ligand as an active ingredient. There is provided a pharmaceutical for the treatment and/or prevention of vascular re-stenosis encountered after angioplasty, endarterectomy, percutaneous coronary angioplasty (PTCA) or stent placement, or for the treatment and/or prevention of blood coagulation disorder, diseases induced by platelet aggregation including stable or unstable angina, disorders of cardiovascular and cerebrovascular systems including thromboembolism induced by diabetes, re-thrombosis encountered after thrombolysis, brain ischemia seizure, infarction, apoplexy, dementia resulting from ischemia, peripheral arterial disease, thromboembolism encountered during the use of aortocoronary bypass, glomerulosclerosis, kidney embolism, tumor or cancer metastasis, which pharmaceutical comprises an LXR ligand as an active ingredient. For example, a compound 6-chloro-7-methoxy-3-[2-methyl-5-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-2-(3-thienylmethyl)-4(3H)-quinazolin(I) was prepared, and examined for its tissue factor production inhibitory effect. Also, a capsule containing I 100, lactose 150, cellulose 50, and magnesium stearate 6 mg was formulated.

IT 405911-09-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tissue factor production inhibitors containing LXR ligands)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:30802 CAPLUS

DOCUMENT NUMBER: 144:387479

TITLE: Oxysterols suppress inducible nitric oxide synthase expression in lipopolysaccharide-stimulatedastrocytes through liver X receptor

AUTHOR(S): Lee, Chang Seok; Joe, Eun-hye; Jou, Ilo

CORPORATE SOURCE: Department of Pharmacology, Ajou University School of Medicine, Suwon, S. Korea

SOURCE: NeuroReport (2006), 17(2), 183-187
CODEN: NERPEZ; ISSN: 0959-4965

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

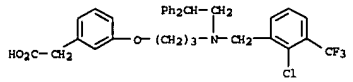
AB Cholesterols are enriched in the brain and can be oxidized to oxysterols by several processes. Oxysterols are transport forms of cholesterols across cell membranes and the blood-brain barrier. Here, to elucidate the roles of oxysterols in brain inflammation, we treated lipopolysaccharide-stimulated rat brain astrocytes with two oxysterols, 7-ketocholesterol and 22(R)-hydroxycholesterol. Both oxysterols suppressed inducible nitric oxide synthase expression and nitric oxide release as well as upstream signaling mols. including interferon-β, phosphorylated signal transducer and activator of transcription 1/3, and interferon regulatory factor-1. Oxysterols are known as liver X receptor agonists, and inhibitory effects were also observed with synthetic agonists of liver X receptor and retinoid X receptor. Thus, we conclude that it is most likely mediated by liver X receptor/retinoid X receptor heterodimers.

IT 405911-09-3, GW3965

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(synthetic liver X receptor agonist GW3965 dose-dependently suppressed inducible nitric oxide synthase expression and nitric oxide release in cultured lipopolysaccharide-stimulated rat brain astrocyte)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:15776 CAPLUS

DOCUMENT NUMBER: 144:101077

TITLE: Methods and compositions to promote bone homeostasis

INVENTOR(S): Van Rompaey, Luc; Tomme, Peter Herwig Maria

PATENT ASSIGNEE(S): Galapagos Genomics N.V., Belg.

SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006000577	A2	20060105	WO 2005-EP52971	20050624
WO 2006000577	A9	20060420		
WO 2006000577	A3	20061109		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006014231	A1	20060119	US 2005-166412	20050624
US 2006020036	A1	20060126	US 2005-166009	20050624

PRIORITY APPLN. INFO.:

US 2004-582704P	P	20040624
US 2004-630449P	P	20041123
US 2005-673206P	P	20050420

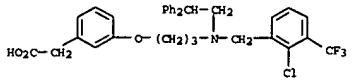
AB The present invention relates to a method for promoting osteogenesis by contacting osteoblast progenitor cells with an LXR agonist. Said method is useful for the treatment or prevention of an imbalance in bone homeostasis in a subject using bone homeostasis-promoting compns. comprising an effective osteogenic stimulating amount of an LXR agonist in admixt. with a pharmaceutically acceptable carrier. A further aspect is a method to produce bone tissue in vitro by contacting an LXR agonist with a population of osteoblast progenitor cells on a substrate, for a time sufficient to stimulate the generation of a matrix of bone tissue.

IT 405911-09-3, GW3965

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. to promote bone homeostasis)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:15775 CAPLUS

DOCUMENT NUMBER: 144:101076

TITLE: Methods for identifying modulators of bone homeostasis and osteoblast differentiation, for treatment of human bone disorders

INVENTOR(S): Van Rompaey, Luc; Tomme, Peter Herwig Maria

PATENT ASSIGNEE(S): Galapagos Genomics N.V., Belg.

SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006000576	A2	20060105	WO 2005-EP52970	20050624
WO 2006000576	A3	20060810		
WO 2006000576	B1	20060928		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006014231	A1	20060119	US 2005-166412	20050624
US 2006020036	A1	20060126	US 2005-166009	20050624

PRIORITY APPLN. INFO.:

US 2004-582704P	P	20040624
US 2004-630449P	P	20041123
US 2005-673206P	P	20050420

AB This invention relates to methods for identifying modulators of bone homeostasis and osteoblast differentiation, for treatment of human bone disorders. Target genes, encoding G-protein coupled receptors and nuclear hormone receptors, were identified with differential expression in osteoblast progenitor cells during differentiation. In order to promote osteogenesis in mesenchymal progenitor cells, agonists to target genes were screened for impact upon osteogenic properties in vitro. The compds. described here may be useful for the treatment or prevention of an imbalance in bone homeostasis in a subject using bone homeostasis-promoting compds. comprising an effective stimulating amount of an osteogenic agonist in admixt. with a pharmaceutically acceptable carrier.

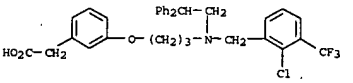
A further aspect is a method to produce bone tissue in vitro by contacting a target gene agonist with a vertebrate cell population including osteoblast progenitor cells on a substrate, for a time sufficient to stimulate the generation of a matrix of bone tissue.

IT 405911-09-3

RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(methods for identifying modulators of bone homeostasis and osteoblast differentiation, for treatment of human bone disorders)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1348778 CAPLUS

DOCUMENT NUMBER: 144:480701

TITLE: Pharmacological Activation of Liver X Receptors Promotes Reverse Cholesterol Transport In Vivo

AUTHOR(S): Naik, Snehal U.; Wang, Xun; Da Silva, Jaqueline S.; Jaye, Michael; Macphee, Colin H.; Reilly, Muredach P.; Billheimer, Jeffrey T.; Rothblat, George H.; Rader, Daniel J.

CORPORATE SOURCE: Institute for Translational Medicine and Therapeutics, University of Pennsylvania School of Medicine, Philadelphia, PA, USA

SOURCE: Circulation (2006), 113(1), 90-97
CODEN: CIRCAZ; ISSN: 0009-7322

PUBLISHER: Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

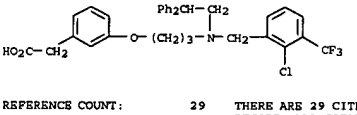
AB Background- Liver X receptors (LXRs) are ligand-activated transcription factors involved in the control of lipid metabolism and inflammation. Synthetic LXR agonists have been shown to inhibit the progression of atherosclerosis in mice, but the mechanism is uncertain. LXR agonism upregulates the genes encoding ATP binding cassette transporters A1 (ABCA1) and G1 (ABCG1) in macrophages, thus promoting efflux of cholesterol; it also upregulates liver and intestinal ABCG5 and ABCG8, helping to promote biliary and fecal excretion of cholesterol. Thus, LXR agonism may inhibit atherosclerosis through promotion of reverse cholesterol transport (RCT) in vivo, but this has not been proven. We previously described an in vivo method to trace the movement of cholesterol from 3H-cholesterol-labeled J774 macrophages into plasma, into liver, and ultimately into the bile and feces as free cholesterol or bile acids. In the present study we used this approach to test the hypothesis that administration of the synthetic LXR agonist GW3965 would increase the rate of macrophage RCT in vivo. Methods and Results- Three different mouse models-wild-type C57BL/6 mice, LDLR/apobec-1 double knockout mice, and human apolipoprotein (apo)B/cholesteryl ester transfer protein (CETP) double transgenic mice-were treated with either vehicle or GW3965. Mice were injected i.p. with 3H-cholesterol-labeled and cholesterol-loaded macrophages and monitored for the appearance of 3H-tracer in plasma, liver, and feces. Administration of GW3965 significantly increased the levels of 3H-tracer in plasma and feces in all 3 mouse models. Conclusions- These results demonstrate that administration of the LXR agonist GW3965 increases the rate of RCT from macrophages to feces in vivo.

IT 405911-09-3, GW3965

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver X receptor agonist GW3965 significantly increased rate of reverse cholesterol transport from macrophage to feces in LDLR/apobec-1 double knockout mouse and human apoB/ CETP double transgenic mouse)

RN 405911-09-3 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1244494 CAPLUS

DOCUMENT NUMBER: 144:16893

TITLE: Differential effects of pharmacological liver X receptor activation on hepatic and peripheral insulin sensitivity in lean and ob/ob mice

AUTHOR(S): Grefhorst, Aldo; van Dijk, Theo H.; Hammer, Anke; van der Sluijs, Fjodor H.; Havinga, Rick; Havekes, Louis M.; Romijn, Johannes A.; Groot, Pieter H.; Reijngoud, Dirk-Jan; Kuipers, Folkert

CORPORATE SOURCE: Center for Liver, Digestive, and Metabolic Diseases, Laboratory of Pediatrics, University Medical Center Groningen, Groningen, Neth.

SOURCE: American Journal of Physiology (2005), 289(5, Pt. 1), E829-E838
CODEN: AJPHAP; ISSN: 0002-9513

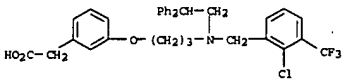
PUBLISHER: American Physiological Society

DOCUMENT TYPE: Journal

LANGUAGE: English

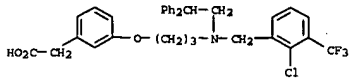
AB Liver X receptor (LXR) agonists have been proposed to act as anti-diabetic drugs. However, pharmacol. LXR activation leads to severe hepatic steatosis, a condition usually associated with insulin resistance and type 2 diabetes mellitus. To address this apparent contradiction, lean and ob/ob mice were treated with the LXR agonist GW-3965 for 10 days. Insulin sensitivity was assessed by hyperinsulinemic-euglycemic clamp studies. Hepatic glucose production (HGP) and metabolic clearance rate (MCR) of glucose were determined with stable isotope techniques. Blood glucose and hepatic and whole body insulin sensitivity remained unaffected upon treatment in lean mice, despite increased hepatic triglyceride contents (61.7 ± 7.2 vs. 12.1 ± 2.0 nmol/mg liver, $P < 0.05$). In ob/ob mice, LXR activation resulted in lower blood glucose levels and significantly improved whole body insulin sensitivity. GW-3965 treatment did not affect HGP under normo- and hyperinsulinemic conditions, despite increased hepatic triglyceride contents (221 ± 13 vs. 176 ± 19 nmol/mg liver, $P < 0.05$). Clamped MCR increased upon GW-3965 treatment (18.2 ± 1.0 vs. 14.3 ± 1.4 mL·kg-1·min-1, $P = 0.05$). LXR activation increased white adipose tissue mRNA levels of Glut4, Accl and Fas in ob/ob mice only. In conclusion, LXR-induced blood glucose lowering in ob/ob mice was attributable to increased peripheral glucose uptake and metabolism, physiol. reflected in a slightly improved insulin sensitivity. Remarkably, steatosis associated with LXR activation did not affect hepatic insulin sensitivity.

IT 405911-09-2, GW-3965
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(differential effects of liver X receptor agonists on hepatic and peripheral insulin sensitivity in lean and ob/ob mice)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



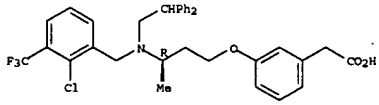
REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1083027 CAPLUS
DOCUMENT NUMBER: 144:32097
TITLE: Synthetic LXR agonists increase LDL in CETP species
AUTHOR(S): Groot, Pieter H. E.; Pearce, Nigel J.; Yates, John W.; Stocker, Claire; Sauermelch, Charles; Doe, Christopher P.; Willette, Robert N.; Olzinski, Alan; Peters, Tambra; d'Epagnier, Denise; Morasco, Kathleen O.; Krawiec, John A.; Webb, Christine L.; Aravindhan, Karpagam; Jucker, Beat; Burgert, Mark; Ma, Chun; Marino, Joseph P.; Collins, Jon L.; Macphee, Colin H.; Thompson, Scott K.; Jaye, Michael
CORPORATE SOURCE: Cardiovascular Center for Excellence in Drug Discovery, GlaxoSmithKline, King of Prussia, PA, 19406-0939, USA
SOURCE: Journal of Lipid Research (2005), 46(10), 2182-2191
CODEN: JLPRAW; ISSN: 0022-2275
PUBLISHER: American Society for Biochemistry and Molecular Biology, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Liver X receptor (LXR) nuclear receptors regulate the expression of genes involved in whole body cholesterol trafficking, including absorption, excretion, catabolism, and cellular efflux, and possess both anti-inflammatory and antidiabetic actions. Accordingly, LXR is considered an appealing drug target for multiple indications. Synthetic LXR agonists demonstrated inhibition of atherosclerosis progression in murine genetic models; however, these and other studies indicated that their major undesired side effect is an increase of plasma and hepatic triglycerides. A significant impediment to extrapolating results with LXR agonists from mouse to humans is the absence in mice of cholesteryl ester transfer protein, a known LXR target gene, and the upregulation in mice but not humans of cholesterol 7α-hydroxylase. To better predict the human response to LXR agonism, two synthetic LXR agonists were examined in hamsters and cynomolgus monkeys. In contrast to previously published results in mice, neither LXR agonist increased HDL-cholesterol in hamsters, and similar results were obtained in cynomolgus monkeys. Importantly, in both species, LXR agonists increased LDL-cholesterol, an unfavorable effect not apparent from earlier murine studies. These results reveal addnl. problems associated with current synthetic LXR agonists and emphasize the importance of profiling compds. in preclin. species with a more human-like LXR response and lipoprotein metabolism
IT 405911-09-2, GW3965 610318-54-2, SB 742881
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthetic LXR agonists increase LDL in CETP species)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



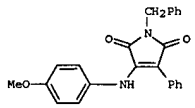
RN 610318-54-2 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



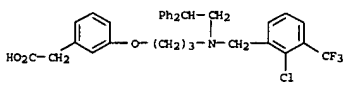
REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:703800 CAPLUS
DOCUMENT NUMBER: 143:221837
TITLE: Discovery of Substituted Maleimides as Liver X Receptor Agonists and Determination of a Ligand-Bound Crystal Structure
AUTHOR(S): Jaye, Michael C.; Krawiec, John A.; Campobasso, Nino; Smallwood, Angela; Qiu, Chunyan; Lu, Quinn; Kerrigan, John J.; De Los Frailes Alvaro, Maite; Laffitte, Bryan; Liu, Wu-Schyong; Marino, Joseph P., Jr.; Meyer, Craig R.; Nichols, Jason A.; Parks, Derek J.; Perez, Paloma; Sarov-Blat, Lea; Seepersaud, Sheila D.; Steplewski, Klaudia M.; Thompson, Scott K.; Wang, Ping; Watson, Mike A.; Webb, Christine L.; Haigh, David; Caravella, Justin A.; Macphee, Colin H.; Willson, Timothy M.; Collins, Jon L.
CORPORATE SOURCE: GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(17), 5419-5422
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:221837
GI



I

AB Substituted 3-(phenylamino)-1H-pyrrole-2,5-dioneswere identified from a high throughput screen as inducers of human ATP binding cassette transporter A1 expression. Mechanism of action studies led to the identification of GSK3987 (I) as an LXR ligand. I recruits the steroid receptor coactivator-1 to human LXRα and LXRβ with EC50s of 40 nM, profiles as an LXR agonist in functional assays, and activates LXR though a mechanism that is similar to first generation LXR agonists.
IT 405911-09-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Substituted Maleimides as Liver X Receptor Agonists)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



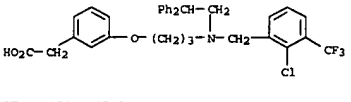
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:540481 CAPLUS
DOCUMENT NUMBER: 143:71761
TITLE: Methods of treatment with LXR agonists
INVENTOR(S): Kikkawa, Hideo; Kinoshita, Mine; Kurusu, Osamu
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

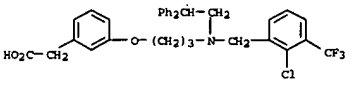
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005055998	A1	20050623	WO 2004-US40440	20041203

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-526770P P 20031204
OTHER SOURCE(S): MARPAT 143:71761
AB The present invention relates generally to the use of LXR agonists in the prevention and/or treatment of eosinophilia or IL-13 overprodn., or diseases arising from eosinophilia or IL-13 production, such as allergy or asthma.
IT 405911-09-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treatment with LXR agonists for treatment of diseases from eosinophilia or IL-13 production such as allergy or asthma)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



IT 405911-17-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods of treatment with LXR agonists for treatment of diseases from eosinophilia or IL-13 production such as allergy or asthma)
RN 405911-17-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:527397 CAPLUS
DOCUMENT NUMBER: 143:78096
TITLE: Preparation of quinolines useful in treating LXR (liver X receptor)-mediated diseases
INVENTOR(S): Collini, Michael D.; Singhaus, Robert R.; Hu, Baihua; Jetter, James W.; Morris, Robert L.; Kaufman, David H.; Miller, Christopher P.; Ullrich, John W.; Unwalla, Rayomand J.; Wrobel, Jay E.; Quinet, Elaine; Nambi, Ponnal; Bernotas, Ronald C.; Elloso, Merle
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 169 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005131014	A1	20050616	US 2004-10236	20041210
AU 2004298486	A1	20050630	AU 2004-298486	20041210
CA 2547518	A1	20050630	CA 2004-2547518	20041210
WO 2005058834	A2	20050630	WO 2004-US41399	20041210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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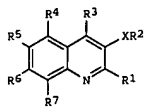
NO 2006002561	A	20060908	NO 2006-2561	20060602
PRIORITY APPLN. INFO.:			US 2003-529009P	P 20031212
			US 2004-600296P	P 20040810
			WO 2004-US41399	W 20041210

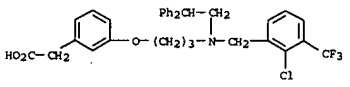
OTHER SOURCE(S): MARPAT 143:78096
GI



I

AB This invention provides quinolines of formula I (R1 = H or C1-C3 alkyl; X1 = a bond or an appropriate group to link R2 which is an optionally substituted heterocycle; X2 = a bond or CH2; R3 = optionally substituted Ph, naphthyl, or heterocycle; R4, R5, and R6 = H or F, R7 = H, C1-C4 alkyl, C1-C4 perfluoroalkyl, halogen, NO2, CN, optionally substituted phenyl) that are useful in the treatment or inhibition of LXR mediated diseases (no data). The LXR mediated diseases specifically claimed are, for example, atherosclerosis, Alzheimer's disease, dementia, diabetes, multiple sclerosis, and thyroiditis. Pharmaceutical compns. containing the compds. of the invention and synthetic procedures for preparing them are also claimed.
IT 405911-09-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolines useful in treating LXR (liver X receptor)-mediated diseases)
RN 405911-09-3 CAPLUS

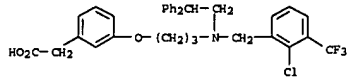
signaling pathways)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



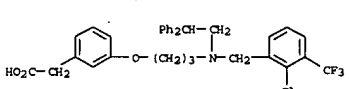
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:273322 CAPLUS
DOCUMENT NUMBER: 142:385558
TITLE: Liver X receptor agonists inhibit tissue factor expression in macrophages
AUTHOR(S): Terasaka, Naoki; Hiroshima, Ayano; Ariga, Akiko; Honzumi, Shoko; Koieyama, Tadashi; Inaba, Toshimori; Fujiwara, Toshihiko
CORPORATE SOURCE: Pharmacology and Molecular Biology Research Laboratories, Sankyo Co. Ltd, Tokyo, 140-8710, Japan
SOURCE: FEBS Journal (2005), 272(6), 1546-1556
CODEN: FJEOAC; ISSN: 1742-464X
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Exposure of blood to tissue factor (TF) rapidly initiates the coagulation serine protease cascades. TF is expressed by macrophages and other types of cell within atherosclerotic lesions and plays an important role in thrombus formation after plaque rupture. Macrophage TF expression is induced by pro-inflammatory stimuli including lipopolysaccharide (LPS), interleukin-1β and tumor necrosis factor-α. Here we demonstrate that activation of liver X receptors (LXRs) LXRα and LXRβ suppresses TF expression. Treatment of mouse peritoneal macrophages with synthetic LXR agonist T0901317 or GW3965 reduced TF expression induced by pro-inflammatory stimuli. LXR agonists also suppressed TF expression and its activity in human monocytes. Human and mouse TF promoters contain binding sites for the transcription factors AP-1, NFκB, Egr-1 and Sp1, but no LXR-binding sites could be found. Cotransfection assays with LXR and TF promoter constructs in RAW 264.7 cells revealed that LXR agonists suppressed LPS-induced TF promoter activity. Anal. of TF promoter also showed that inhibition of TF promoter activity by LXR was at least in part through inhibition of the NFκB signaling pathway. In addition, in vivo, LXR agonists reduced TF expression within aortic lesions in an atherosclerosis mouse model as well as in kidney and lung in mice stimulated with LPS. These findings indicate that activation of LXR results in reduction of TF expression, which may influence atherothrombosis in patients with vascular disease.
IT 405911-09-2, GW3965
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver X receptor agonists inhibit tissue factor expression in macrophages)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:301489 CAPLUS
DOCUMENT NUMBER: 143:935
TITLE: Liver X Receptor Agonists Inhibit Cytokine-Induced Osteopontin Expression in Macrophages Through Interference With Activator Protein-1 Signaling Pathways
AUTHOR(S): Ogawa, Daisuke; Stone, Jeffrey F.; Takata, Yasunori; Blaschke, Florian; Chu, Van H.; Towler, Dwight A.; Law, Ronald E.; Hsueh, Willa A.; Bruemmer, Dennis
CORPORATE SOURCE: Division of Endocrinology and Molecular Medicine, University of Kentucky College of Medicine, Lexington, KY, USA
SOURCE: Circulation Research (2005), 96(7), e59-e67
CODEN: CIRUAL; ISSN: 0009-7330
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Osteopontin (OPN) is a proinflammatory cytokine and adhesion mol. implicated in the chemoattraction of monocytes and in cell-mediated immunity. We have recently reported that genetic OPN-deficiency attenuates the development of atherosclerosis in apoE-/- mice identifying OPN as potential target for pharmacol. intervention in atherosclerosis. Synthetic agonists for the Liver X Receptor (LXR), members of the nuclear hormone receptor superfamily, prevent the development of atherosclerosis by regulating cholesterol homeostasis and suppressing inflammatory gene expression in macrophages. We demonstrate here that LXR ligands inhibit cytokine-induced OPN expression in macrophages. Two synthetic LXR ligands, T0901317 and GW3965, inhibited TNF-α, IL-1β, INF-γ and lipopolysaccharide induced OPN mRNA and protein expression in RAW 264.7 macrophages. Transient transfection expts. revealed that LXR ligands suppress cytokine-induced OPN promoter activity. Deletion anal., heterologous promoter assays, and site-directed mutagenesis identified an activator protein-1 (AP-1) consensus site at -76 relative to the initiation site that supports OPN transcription in macrophages and mediates the effects of LXR ligands to inhibit OPN transcription. Electrophoretic mobility shift and chromatin immunopptn. assays indicated that LXR agonists inhibit cytokine-induced c-Fos and phospho-c-Jun binding to this AP-1 site. Cytokine-induced c-Fos and phospho-c-Jun protein expression was inhibited by LXR ligands and overexpression of c-Fos and c-Jun reversed the inhibitory effect of LXR ligands on OPN promoter activity in transactivation assays. Finally, treatment of C57BL/6J mice with LXR ligands inhibited OPN expression in peritoneal macrophages indicating that the observed effects of LXR ligands to inhibit OPN expression are applicable in vivo. These observations identify the regulation of macrophage OPN expression as a mechanism whereby LXR ligands may impact macrophage inflammatory responses and atherosclerosis.
IT 405911-09-2, GW3965
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver X receptor agonists inhibit cytokine-induced osteopontin expression in macrophages through interference with activator protein-1

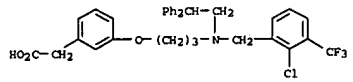


REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:136527 CAPLUS
DOCUMENT NUMBER: 142:212365
TITLE: Use of LXR agonists to treat inflammatory bowel diseases
INVENTOR(S): Goto, Yukio; Kikkawa, Hideo; Kinoshita, Mine
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005013946	A2	20050217	WO 2004-EP8426	20040727
WO 2005013946	A3	20050407		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, BE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1653938	A2	20060510	EP 2004-763551	20040727
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007500158	T	20070111	JP 2006-521514	20040727
US 2006205819	A1	20060914	US 2006-566637	20060127
PRIORITY APPLN. INFO.:			US 2003-490614P	P 20030728
			WO 2004-EP8426	W 20040727

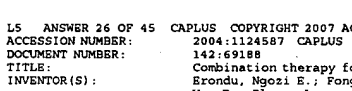
OTHER SOURCE(S): MARPAT 142:212365
AB The present invention relates generally to the use of LXR agonists in the prevention and/or treatment of inflammatory bowel diseases. Thus, 2-(3-{3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy}phenyl)aceticacid was synthesized. This compound was shown to decrease the severity of DSS-induced colitis in mice.
IT 405911-09-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(use of LXR agonists to treat inflammatory bowel diseases)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:99330 CAPLUS
DOCUMENT NUMBER: 142:191262
TITLE: Methods of cardiovascular disease treatment with LXR agonists
INVENTOR(S): Barone, Frank C.; Coatney, Robert W.; Legos, Jeffrey J.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009383	A2	20050203	WO 2004-US23658	20040722
WO 2005009383	A3	20051208		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1646394	A2	20060419	EP 2004-778949	20040722
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006528200	T	20061214	JP 2006-521249	20040722
US 2006189693	A1	20060824	US 2006-565495	20060120

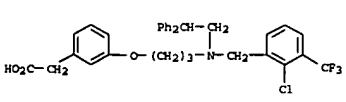
PRIORITY APPLN. INFO.: US 2003-489202P P 20030722
WO 2004-US23658 W 20040722
OTHER SOURCE(S): MARPAT 142:191262
AB The present invention relates generally to the use of LXR agonists in the prevention and/or treatment of cardiovascular pathol.
IT 405911-09-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of cardiovascular disease treatment with LXR agonists)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



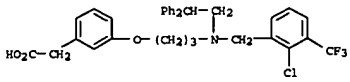
L5 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1124587 CAPLUS
DOCUMENT NUMBER: 142:69188
TITLE: Combination therapy for the treatment of diabetes
INVENTOR(S): Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg, Leonardus H. T.; Kanatani, Akio
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110375	A2	20041223	WO 2004-US17291	20040602
WO 2004110375	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1635832	A2	20060322	EP 2004-753999	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				

PRIORITY APPLN. INFO.: US 2003-476388P P 20030606
WO 2004-US17291 W 20040602
OTHER SOURCE(S): MARPAT 142:69188
AB The present invention relates to compns. comprising an anti-obesity agent and an anti-diabetic agent useful for the treatment of diabetes, diabetes associated with obesity and diabetes-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.
IT 405911-09-3, GW 3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



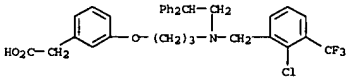
L5 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN



L5 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1127103 CAPLUS
DOCUMENT NUMBER: 142:69217
TITLE: Reciprocal regulation of inflammation and lipid metabolism by liver x receptors
INVENTOR(S): Tontonoz, Peter; Joseph, Sean B.; Castrillo, Antonio
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 32 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004259948	A1	20041223	US 2004-755720	20040112
WO 2005070072	A2	20050804	WO 2005-US442	20050107
WO 2005070072	A3	20061019		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

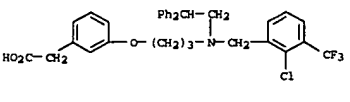
PRIORITY APPLN. INFO.: US 2003-439570P P 20030110
US 2004-755720 A 20040112
AB The invention is related to the role of liver X receptors (LXRs) in inflammation and immunity. More particularly, methods are disclosed for screening compds. for LXR agonistic activity and using LXR agonists for the treatment of inflammatory processes. Observations from gene expression profile studies identify LXR as a mol. link between lipid metabolism and inflammation.
IT 405911-09-3, GW 3965
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(reciprocal regulation of inflammation and lipid metabolism by liver x receptors)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 2004:1124581 CAPLUS
DOCUMENT NUMBER: 142:69181
TITLE: Combination therapy for the treatment of hypertension
INVENTOR(S): Fong, Tung M.; Erondu, Ngozi E.; Macneil, Douglas J.; Mcintyre, James H.; Van Der Ploeg, Leonardus H. T.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110368	A2	20041223	WO 2004-US17090	20040602
WO 2004110368	A3	20060720		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1635773	A2	20060322	EP 2004-753832	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 2006160834	A1	20060720	US 2005-559111	20051202

PRIORITY APPLN. INFO.: US 2003-476390P P 20030606
WO 2004-US17090 W 20040602
OTHER SOURCE(S): MARPAT 142:69181
AB The present invention relates to compns. comprising an anti-obesity agent and an anti-hypertensive agent useful for the treatment of hypertension, hypertension associated with obesity, and hypertension-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.
IT 405911-09-3, GW 3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy of hypertension and hypertension-related disorders using antiobesity agent and antihypertensive agent and other agents and antihypertensive agent)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

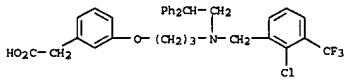


L5 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:835972 CAPLUS

DOCUMENT NUMBER: 142:254275
TITLE: Gene-selective modulation by a synthetic oxysterol ligand of the liver X receptor
AUTHOR(S): Quinet, Elaine M.; Savio, Dawn A.; Halpern, Anita R.; Chen, Liang; Miller, Christopher P.; Nambi, Ponnal
CORPORATE SOURCE: Departments of Cardiovascular/Metabolic Diseases, Wyeth Research, Collegeville, PA, 19246, USA
SOURCE: Journal of Lipid Research (2004), 45(10), 1929-1942
CODEN: JLPRAW; ISSN: 0022-2275
PUBLISHER: American Society for Biochemistry and Molecular Biology, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Liver X receptors (LXRs) play key roles in the regulation of cholesterol homeostasis by limiting cholesterol accumulation in macrophages within arterial wall lesion sites by a mechanism that includes the upregulation of ATP binding cassette transporters. These atheroprotective properties distinguish LXRs as potential targets for pharmaceutical intervention in cardiovascular disease. Their associated activity for promoting lipogenesis and triglyceride accretion through the activation of sterol-response element binding protein 1c (SREBP-1c) expression, however, represents a potential proatherogenic liability. A newly characterized synthetic oxysterol, N,N-dimethyl-3β-hydroxycholenamide (DMHCA), represents a gene-selective LXR modulator that mediates potent transcriptional activation of ABCA1 gene expression while exhibiting minimal effects on SREBP-1c both in vitro and in vivo in mice. DMHCA has the potential to stimulate cholesterol transport through the upregulation of LXR target genes, including ABCA1, in liver, small intestine, and peritoneal macrophages. Compared with known nonsteroidal LXR agonists, however, DMHCA exhibits only limited activity for increasing hepatic SREBP-1c mRNA and does not alter circulating plasma triglycerides. Cell-based studies also indicate that DMHCA enhances cholesterol efflux in macrophages and suggest a mechanism whereby this selective modulator can potentially inhibit cholesterol accumulation. DMHCA and related gene-selective ligands of LXR may have application to the study and treatment of atherosclerosis.

IT 405911-09-3, GW 3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(gene-selective modulation by a synthetic oxysterol ligand of liver X receptor)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

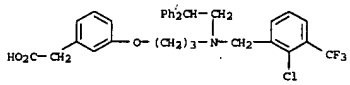


REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:653996 CAPLUS
DOCUMENT NUMBER: 141:199923
TITLE: Raising HDL cholesterol without inducing hepatic steatosis and hypertriglyceridemia by a selective LXR modulator
AUTHOR(S): Miao, Bowman; Zondlo, Susan; Gibbs, Sandy; Cromley, Debra; Hosagrahara, Vinayak P.; Kirchgessner, Todd G.; Billheimer, Jeffrey; Mukherjee, Ranjan
CORPORATE SOURCE: Cardiovascular Biology, Experimental Station, Bristol-Myers Squibb Company, Wilmington, DE, 19880, USA
SOURCE: Journal of Lipid Research (2004), 45(8), 1410-1417
CODEN: JLPRAW; ISSN: 0022-2275
PUBLISHER: American Society for Biochemistry and Molecular Biology, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Liver X receptors (LXRs) are ligand-activated transcription factors that belong to the nuclear receptor superfamily. LXRs activate transcription of a spectrum of genes that regulate reverse cholesterol transport, including the ATP binding cassette transporter A1 (ABCA1), and raise HDL cholesterol (HDL-C) levels. However, LXR agonists also induce genes that stimulate lipogenesis, including the sterol response element binding protein (SREBP1-c) and fatty acid synthetase (FAS). The induction of these genes in the liver cause increased hepatic triglyceride synthesis, hypertriglyceridemia, and hepatic steatosis. As LXR response elements have been identified in these promoters, it is not clear if these two processes can be separated Herein, we demonstrate that plasma HDL-C elevation and intestinal ABCA1 induction can occur with relatively little induction of FAS and SREBP1-c in mouse liver via a selective LXR modulator GW3965. This is in contrast to the strong induction of hepatic lipogenic genes by the well-characterized LXR agonist T0901317 (T317). Consistent with the in vivo results, GW3965 is a very weak LXR activator compared with T317 in human hepatoma cells. GW3965-liganded LXR recruits selected coactivators less effectively than T317 and may explain in part the tissue selective gene induction. This demonstration that tissue and gene selective modulation is possible with selective LXR modulators has pos. implications for the development of this class of antiatherosclerotic agents.

IT 405911-09-3, GW 3965
RL: PAC (Pharmacological activity); BIOL (Biological study)
(raising HDL cholesterol without inducing hepatic steatosis and hypertriglyceridemia by a selective LXR modulator)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

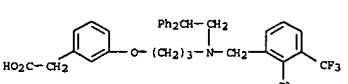


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:571227 CAPLUS
DOCUMENT NUMBER: 141:117718
TITLE: The effect of LXR activators on AP-1 proteins in keratinocytes
AUTHOR(S): Schmuth, Matthias; Elias, Peter M.; Hanley, Karen; Lau, Peggy; Moser, A.; Willson, Timothy M.; Bikle, Daniel D.; Feingold, Kenneth R.
CORPORATE SOURCE: Department of Medicine, University of California, San Francisco, CA, USA
SOURCE: Journal of Investigative Dermatology (2004), 123(1), 41-48
CODEN: JIDEAS; ISSN: 0022-202X
PUBLISHER: Blackwell Publishing, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Oxysterols, via activation of liver X receptor (LXR), regulate keratinocyte differentiation by stimulating transglutaminase crosslinking of several constituent proteins leading to the formation of the cornified envelope. We previously reported that oxysterols increase the expression of one of these cross-linked proteins, involucrin, and that this effect can be abolished by mutations of the distal activator protein (AP)-1 response element in the involucrin promoter. Furthermore, oxysterols increase AP-1 binding in an electrophoretic gel mobility shift assay and increase the expression of an AP-1 reporter. In this study, we describe the individual components of the AP-1 complex that are involved in the oxysterol-mediated AP-1 activation and stimulation of keratinocyte differentiation. We identified Fra-1 within the AP-1 DNA binding complex by supershift anal. of nuclear exts. from oxysterol-treated, cultured keratinocytes and confirmed that oxysterol treatment increased the levels of Fra-1 by western blot anal. Addnl., on Western and Northern anal., oxysterol treatment increased two other AP-1 proteins, Jun-D and c-Fos, whereas Fra-2, Jun-B, and c-Jun were not changed. Similar alterations in AP-1 proteins occurred when 25-hydroxycholesterol or non-steroidal LXR agonists (GW3965, TO-901317) were used. These results indicate that oxysterols induce specific AP-1 proteins, thereby activating involucrin, one of the genes required for epidermal differentiation.

IT 405911-09-3, GW 3965
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(effect of LXR activators on AP-1 proteins in keratinocytes)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:566658 CAPLUS
DOCUMENT NUMBER: 141:119046
TITLE: Crystal structure of a ligand-binding domain of human LXRβ and applications in drug discovery
INVENTOR(S): Farnegardh, Mathias; Bonn, Tomas; Sun, Sherry; Ljunggren, Jan; Ahola, Harri; Carlquist, Mats
PATENT ASSIGNEE(S): Karo Bio Ab, Swed.
SOURCE: PCT Int. Appl., 378 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

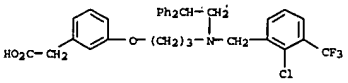
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058819	A2	20040715	WO 2003-IB6412	20031224
WO 2004058819	A3	20041202		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2511357	A1	20040715	CA 2003-2511357	20031224
AU 2003296851	A1	20040722	AU 2003-296851	20031224
EP 1583776	A2	20051012	EP 2003-813966	20031224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003017744	A	20051122	BR 2003-17744	20031224
CN 1753910	A	20060329	CN 2003-80109950	20031224
PRIORITY APPLN. INFO.:			GB 2002-30177 A	20021224
			WO 2003-IB6412 W	20031224

AB The present invention is in the fields of biotechnol., protein purification and crystallization, x-ray diffraction anal., three-dimensional computer mol. modeling and rational drug design. The invention is directed to the human liver X receptor and ligands for this receptor, and in particular to crystalline human liver X receptor beta (LXRβ) and to methods of identifying ligands utilizing LXRβ, as well as to compds., compns. and methods for selecting, making, and using therapeutic or diagnostic agents having LXRβ modulating or binding activity. Crystal structure and three-dimensional structure of a ligand-binding domain of human LXRβ complexed with ligands T0901317 and GW3965 are disclosed.

IT 405911-09-3DI, GW 3965, complexes with LXRβ
RL: BPN (Biosynthetic preparation); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); BIOL (Biological study); PREP (Preparation); USES (Uses)
(GW 3965; crystal structure of ligand-binding domain of human LXRβ complexed with ligands, and applications in drug discovery)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:566548 CAPLUS
DOCUMENT NUMBER: 141:117168
TITLE: Novel use of liver x receptor agonists to treat diabetes and related diseases
INVENTOR(S): Saez, Enrique; Tontonoz, Peter; Laffitte, Bryan A.; Li, Jing
PATENT ASSIGNEE(S): IRM Llc, Bermuda; The Regents of the University of California
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

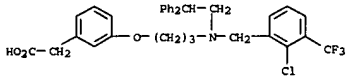
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058175	A2	20040715	WO 2003-US40906	20031222

WO 2004058175 A3 20040910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003301216 A1 20040722 AU 2003-301216 20031222
US 2005036992 A1 20050217 US 2003-745334 20031222
PRIORITY APPLN. INFO.: US 2002-436112P P 20021223
WO 2003-US40906 W 20031222

AB This invention provides novel methods for modulating expression of glut4 and other genes involved in glucose metabolism, and methods for treating or ameliorating diabetes and related diseases. The methods comprise administering to cells in a subject an effective amount of an LXR agonist and thereby modulating expression of those genes that are important for glucose uptake or gluconeogenesis. The modulation will lead to increased uptake of glucose by cells in the subject and/or reduced glucose output in the liver, and accordingly ameliorate symptoms associated with, e.g., type II diabetes.

IT 405911-09-3, GW 3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(novel use of liver x receptor agonists to treat diabetes and related diseases by modulating expression of genes involved in glucose regulation)

RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)

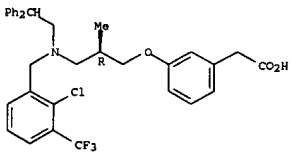


L5 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:430788 CAPLUS
DOCUMENT NUMBER: 141:6921
TITLE: Preparation of substituted phenyl amides as LXRα and LXRβ agonists
INVENTOR(S): Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Wang, Ning
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043939	A1	20040527	WO 2003-US9461	20030326

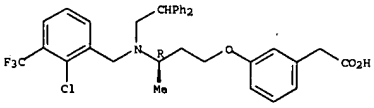
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003220558 A1 20040603 AU 2003-220558 20030326
EP 1497270 A1 20050119 EP 2003-716872 20030326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
US 2005107444 A1 20050519 US 2003-508791 20030326
JP 2006514925 T 20060518 JP 2004-551393 20030326
PRIORITY APPLN. INFO.: US 2002-368427P P 20020327
WO 2003-US9461 W 20030326

OTHER SOURCE(S): MARPAT 141:6921
GI



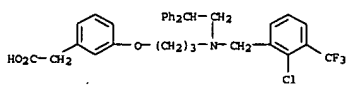
RN 610318-54-2 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 405911-17-3F, 2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]propoxy]phenyl]aceticacid hydrochloride
609772-15-8F, (S)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2-phenylpropyl)amino]propoxy]phenyl]aceticacid 609772-16-9F, (S)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2-phenylpropyl)amino]propoxy]phenyl]aceticacid hydrochloride
610317-99-2F, (R)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-2-methylpropoxy]phenyl]aceticacid hydrochloride 610318-03-1F, (R)-2-[3-[3-[[2-Chloro-3-(trifluoromethyl)benzyl](2,2-diphenylethyl)amino]-3-methylpropoxy]phenyl]aceticacid hydrochloride
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(amide compds. and methods of using the same)

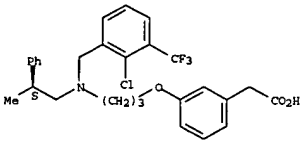
RN 405911-17-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

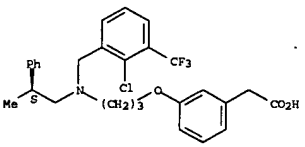
RN 609772-15-8 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 609772-16-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

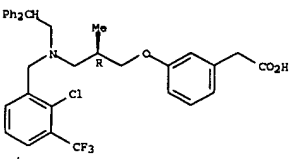
Absolute stereochemistry.



● HCl

RN 610317-99-2 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 610318-03-1 CAPLUS
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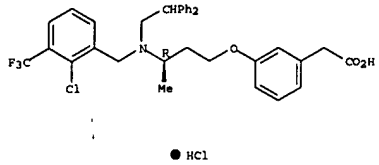
](2,2-diphenylethyl)amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:971723 CAPLUS
DOCUMENT NUMBER: 140:23272
TITLE: Treatments for age-related macular degeneration (AMD) that increase reverse cholesterol transport using a hormone receptor ligand or a lipid transporter
INVENTOR(S): Schwartz, Daniel M.; Duncan, Keith G.; Bailey, Kathy R.; Kane, John P.; Ishida, Brian Y.
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: U.S. Pat. Appl. Publ., 64 pp., Cont.-in-part of U.S. Pat. Appl. 2003 162,758.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003229062	A1	20031211	US 2003-428551	20030502
US 2003162758	A1	20030828	US 2002-313641	20021206
US 2004266663	A1	20041230	US 2004-794198	20040305
WO 2004098506	A2	20041118	WO 2004-US13332	20040430
WO 2004098506	A3	20060112		
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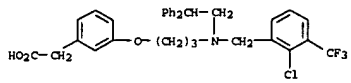
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005282750	A1	20051222	US 2005-55309	20050210
PRIORITY APPLN. INFO.:			US 2001-340498P	P 20011207
			US 2002-415864P	P 20021003
			US 2002-313641	A2 20021206
			US 2003-428551	A2 20030502
			US 2004-794198	A3 20040305

AB The present invention addresses the treatment of age-related macular degeneration using regulation of pathogenic mechanisms similar to atherosclerosis. In further specific embodiments, reverse cholesterol transport components, such as transporters and HDL fractions, are utilized as diagnostic and therapeutic targets for age-related macular degeneration. In a specific embodiment, the lipid content of the retinal pigment epithelium, and/or Bruch's membrane is reduced. A kit for the prevention or treatment of macular degeneration containing a lipid transporter is also claimed.

IT 405911-09-3, GW3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatments for age-related macular degeneration (AMD) that increase reverse cholesterol transport using a hormone receptor ligand or a lipid transporter)

RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



L5 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:796645 CAPLUS
DOCUMENT NUMBER: 139:307687
TITLE: Preparation of (hetero)arylalkanoic acids and esters as LXR agonists
INVENTOR(S): Thompson, Scott K.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Lee, Dennis
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082802	A1	20031009	WO 2003-US9278	20030326
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003222083	A1	20031013	AU 2003-222083	20030326
EP 1487776	A1	20041222	EP 2003-718068	20030326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005521721	T	20050721	JP 2003-580271	20030326
US 2006041164	A1	20060223	US 2005-508893	20050126
PRIORITY APPLN. INFO.:			US 2002-368426P	P 20020327
			WO 2003-US9278	W 20030326
OTHER SOURCE(S):	MARPAT 139:307687			
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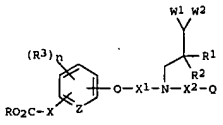
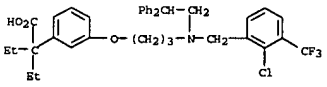


I

AB Title compds. I [X, X2 = bond, alkylene; X1 = alkylene; Q = (un)substituted cycloalkyl, Ph, heterocyclic; W1, W2 = cycloalkyl, aryl; R = H, alkyl, alkenyl, alkynyl, aralkyl, heterocyclylalkyl, cycloalkylalkyl; R1, R2 = H, alkyl; R3 = halo, CN, NO2, (un)substituted alkyl, alkenyl, alkynyl; Z = (un)substituted CH, N; when Z = (un)substituted CH, n = 0-4; when Z = N, n = 0-3] were prepared for use as LXR agonists in treatment of cardiovascular disease, atherosclerosis, or inflammation (no data). Thus, 3-HOC6H4CH2CO2H was converted to 3-HOC6H4CH2CO2Me and treated with (S)-BrCH2CHMeCH2OH, followed by Ph2CHCH2NH2 and 2,3-Cl(F3C)C6H3CHO to give (S)-3-MeO2CC6H4OCH2CHMeCH2N(CH2CHPh2)CH2C6H3(CF3)Cl-3,2.

IT 610318-90-6P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of (hetero)arylalkanoic acids and esters as LXR agonists)

RN 610318-90-6 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-α,α-diethyl- (9CI) (CA INDEX NAME)



IT 610317-99-2P 610318-00-8P 610318-01-9P
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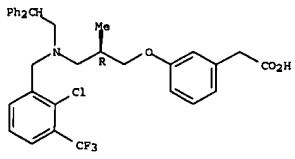
610318-95-1P 610318-96-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (hetero)arylalkanoic acids and esters as LXR agonists)

RN 610317-99-2 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

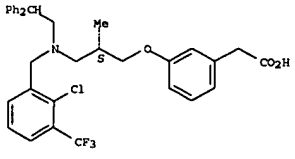
Absolute stereochemistry.



● HCl

RN 610318-00-8 CAPLUS
CN Benzeneacetic acid, 3-[(2S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

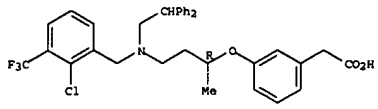
Absolute stereochemistry.



● HCl

RN 610318-01-9 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

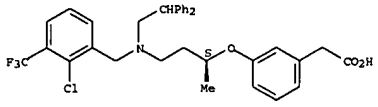
Absolute stereochemistry.



● HCl

RN 610318-02-0 CAPLUS
CN Benzeneacetic acid, 3-[(1S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

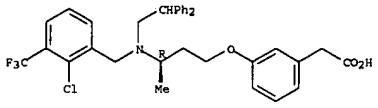
Absolute stereochemistry.



● HCl

RN 610318-03-1 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

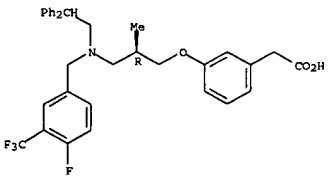
Absolute stereochemistry.



● HCl

RN 610318-04-2 CAPLUS
CN Benzeneacetic acid, 3-[(3S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

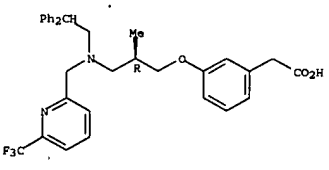
Absolute stereochemistry.



● HCl

RN 610318-08-6 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[[6-(trifluoromethyl)-2-pyridinyl]methyl]amino]-2-methylpropoxy]-,monohydrochloride (9CI) (CA INDEX NAME)

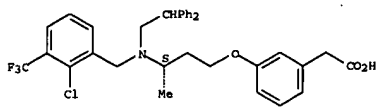
Absolute stereochemistry.



● HCl

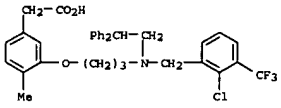
RN 610318-09-7 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[(2,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

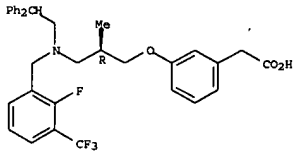
RN 610318-05-3 CAPLUS
CN Benzeneacetic acid, 3-(3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-4-methyl-,hydrochloride (9CI) (CA INDEX NAME)



● HCl

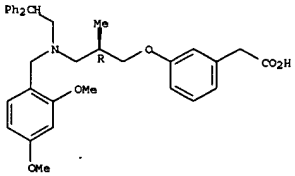
RN 610318-06-4 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

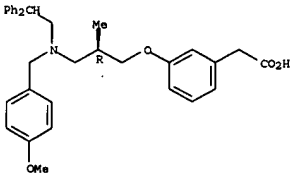
RN 610318-07-5 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 610318-10-0 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

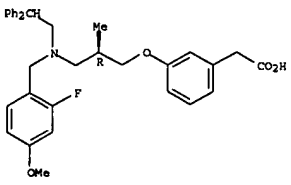
Absolute stereochemistry.



● HCl

RN 610318-11-1 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[(2-fluoro-4-methoxyphenyl)methyl]amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

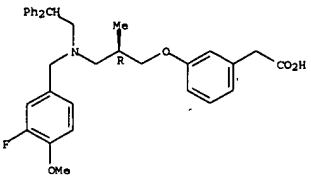
Absolute stereochemistry.



● HCl

RN 610318-12-2 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[(3-fluoro-4-methoxyphenyl)methyl]amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

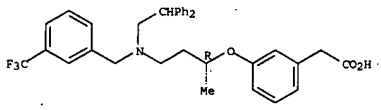
Absolute stereochemistry.



● HCl

RN 610318-13-3 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[[(2,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

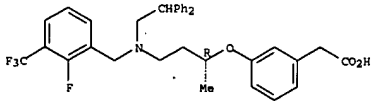
Absolute stereochemistry.



● HCl

RN 610318-17-7 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

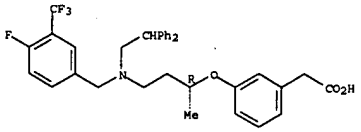
Absolute stereochemistry.



● HCl

RN 610318-18-8 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

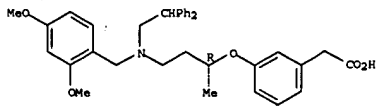
Absolute stereochemistry.



● HCl

RN 610318-19-9 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[(3-fluoro-4-methoxyphenyl)methyl]amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

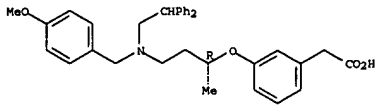
Absolute stereochemistry.



● HCl

RN 610318-14-4 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

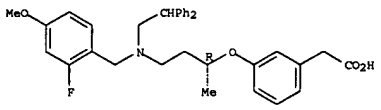
Absolute stereochemistry.



● HCl

RN 610318-15-5 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[(2-fluoro-4-methoxyphenyl)methyl]amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

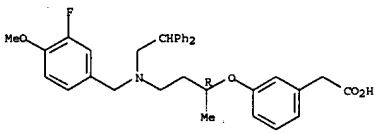
Absolute stereochemistry.



● HCl

RN 610318-16-6 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[[3-(trifluoromethyl)phenyl]methyl]amino]-1-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

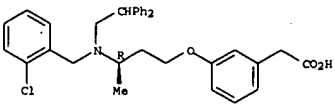
Absolute stereochemistry.



● HCl

RN 610318-20-2 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[(2-chlorophenyl)methyl](2,2-diphenylethyl)amino]butoxy]-, hydrochloride (9CI) (CA INDEX NAME)

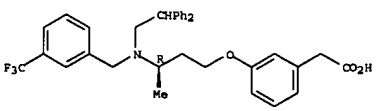
Absolute stereochemistry.



● HCl

RN 610318-21-3 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[[3-(trifluoromethyl)phenyl]methyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

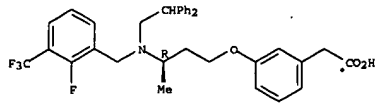
Absolute stereochemistry.



● HCl

RN 610318-22-4 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

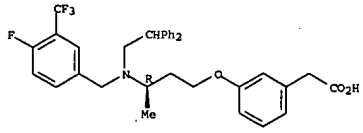
Absolute stereochemistry.



● HCl

RN 610318-23-5 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

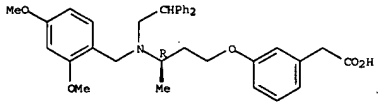
Absolute stereochemistry.



● HCl

RN 610318-24-6 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[(2,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]butoxy]-, hydrochloride (9CI) (CA INDEX NAME)

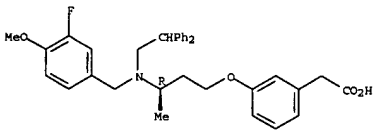
Absolute stereochemistry.



● HCl

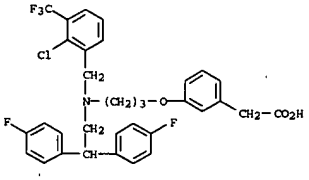
RN 610318-25-7 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



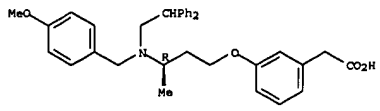
● HCl

RN 610318-29-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2,2-bis(4-fluorophenyl)ethyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



● HCl

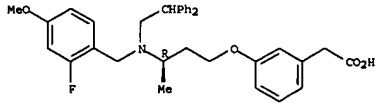
RN 610318-30-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2,2-bis(3-fluorophenyl)ethyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 610318-26-8 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[(2-fluoro-4-methoxyphenyl)methyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

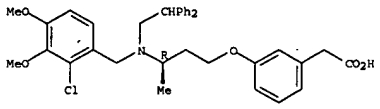
Absolute stereochemistry.



● HCl

RN 610318-27-9 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[(2-chloro-3,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]butoxy]-, hydrochloride (9CI) (CA INDEX NAME)

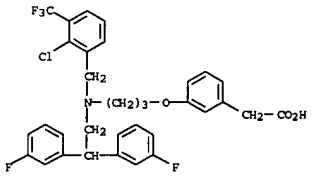
Absolute stereochemistry.



● HCl

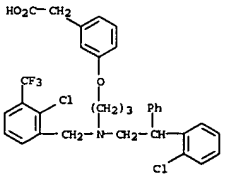
RN 610318-28-0 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[(3-fluoro-4-methoxyphenyl)methyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



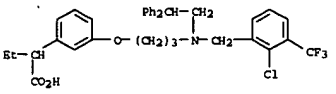
● HCl

RN 610318-31-5 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(2-chlorophenyl)-2-phenylethyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



● HCl

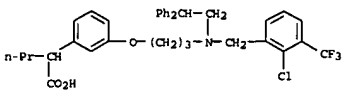
RN 610318-32-6 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-ethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

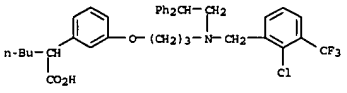
RN 610318-33-7 CAPLUS

CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-propyl-, hydrochloride (9CI) (CA INDEX NAME)



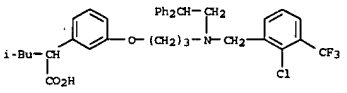
● HCl

RN 610318-34-8 CAPLUS
CN Benzeneacetic acid, α-butyl-3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



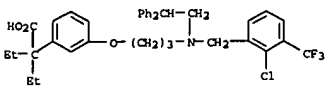
● HCl

RN 610318-35-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-(2-methylpropyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

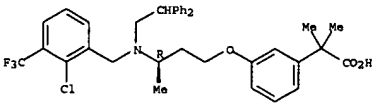
RN 610318-37-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α,α-diethyl-, hydrochloride (9CI) (CA INDEX NAME)



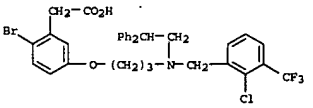
● HCl

RN 610318-38-2 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]α,α-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

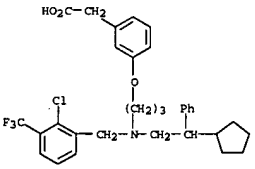


RN 610318-42-8 CAPLUS
CN Benzeneacetic acid, 2-bromo-5-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

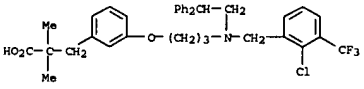


● HCl

RN 610318-43-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-cyclopentyl-2-phenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)

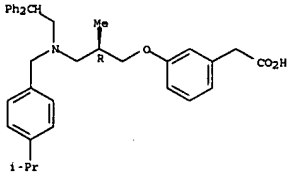


RN 610318-44-0 CAPLUS
CN Benzenepropanoic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α,α-dimethyl- (9CI) (CA INDEX NAME)

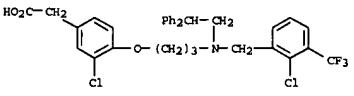


RN 610318-45-1 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[[4-(1-methylethyl)phenyl]methyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

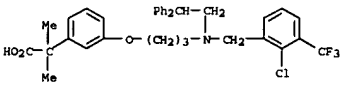


RN 610318-47-3 CAPLUS
CN Benzeneacetic acid, 3-chloro-4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



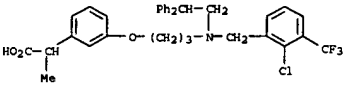
● HCl

RN 610318-48-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

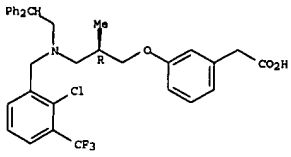
RN 610318-49-5 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-methyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

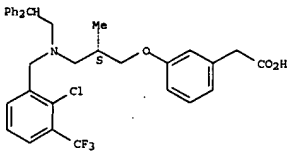
RN 610318-50-8 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



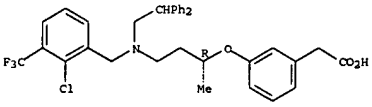
RN 610318-51-9 CAPLUS
CN Benzeneacetic acid, 3-[(2S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



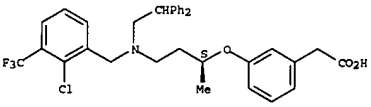
RN 610318-52-0 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



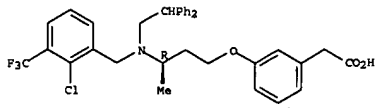
RN 610318-53-1 CAPLUS
CN Benzeneacetic acid, 3-[(1S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



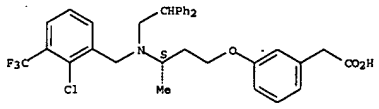
RN 610318-54-2 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



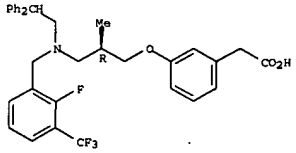
RN 610318-55-3 CAPLUS
CN Benzeneacetic acid, 3-[(3S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



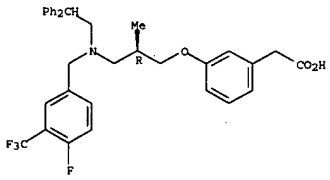
RN 610318-56-4 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



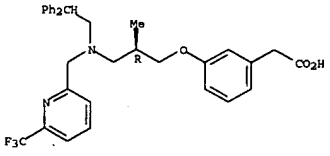
RN 610318-57-5 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



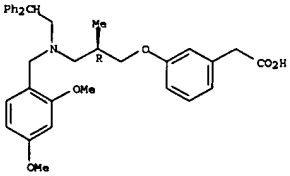
RN 610318-58-6 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[[6-(trifluoromethyl)-2-pyridinyl]methyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



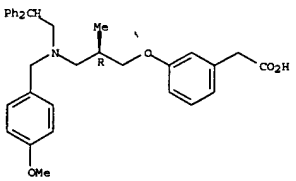
RN 610318-59-7 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[(2,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



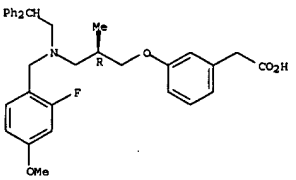
RN 610318-60-0 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



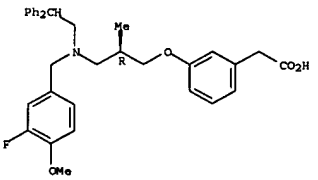
RN 610318-61-1 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[(2-fluoro-4-methoxyphenyl)methyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



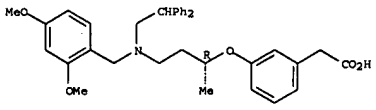
RN 610318-62-2 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[(2,2-diphenylethyl)[(3-fluoro-4-methoxyphenyl)methyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



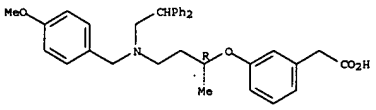
RN 610318-63-3 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[[(2,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



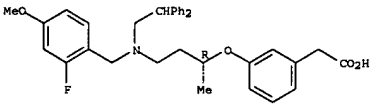
RN 610318-64-4 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



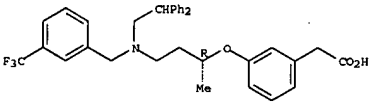
RN 610318-65-5 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[(2-fluoro-4-methoxyphenyl)methyl]amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 610318-67-7 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[[3-(trifluoromethyl)phenyl]methyl]amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

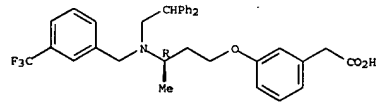
Absolute stereochemistry.



RN 610318-69-9 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

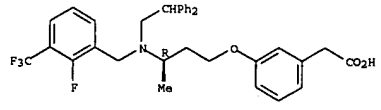
RN 610318-74-6 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[[3-(trifluoromethyl)phenyl]methyl]amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



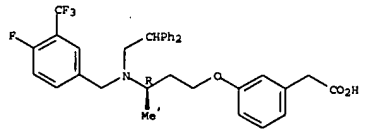
RN 610318-75-7 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



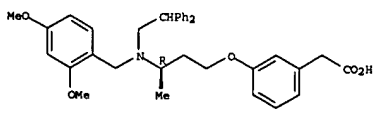
RN 610318-76-8 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

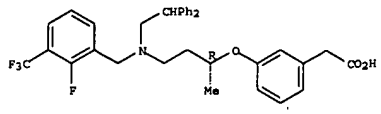


RN 610318-77-9 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[(2,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

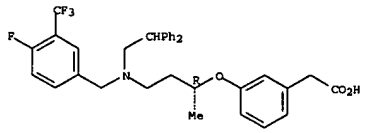


Absolute stereochemistry.



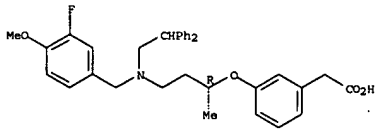
RN 610318-71-3 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[(4-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



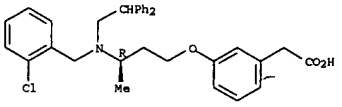
RN 610318-72-4 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[(2,2-diphenylethyl)[(3-fluoro-4-methoxyphenyl)methyl]amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



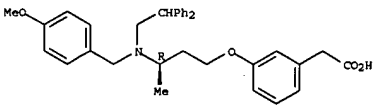
RN 610318-73-5 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[(2-chlorophenyl)methyl](2,2-diphenylethyl)amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



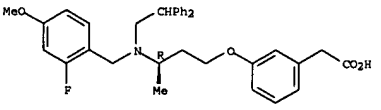
RN 610318-78-0 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



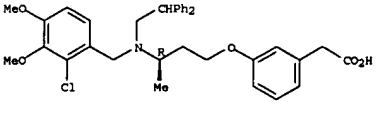
RN 610318-79-1 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[(2-fluoro-4-methoxyphenyl)methyl]amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



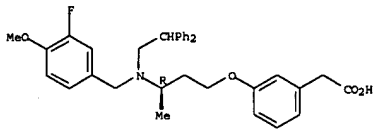
RN 610318-80-4 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[(2-chloro-3,4-dimethoxyphenyl)methyl](2,2-diphenylethyl)amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

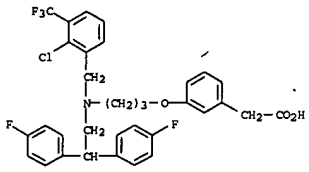


RN 610318-81-5 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[(2,2-diphenylethyl)[(3-fluoro-4-methoxyphenyl)methyl]amino]butoxy]-(9CI) (CA INDEX NAME)

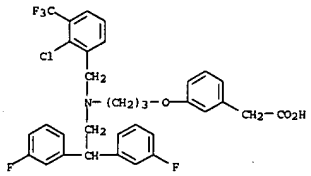
Absolute stereochemistry.



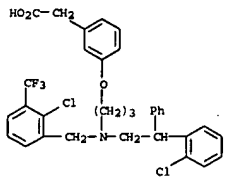
RN 610318-83-7 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2,2-bis(4-fluorophenyl)ethyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



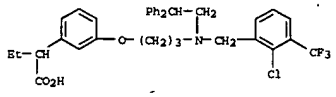
RN 610318-84-8 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2,2-bis(3-fluorophenyl)ethyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



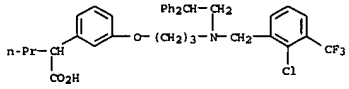
RN 610318-85-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(2-chlorophenyl)-2-phenylethyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



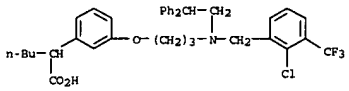
RN 610318-86-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-ethyl- (9CI) (CA INDEX NAME)



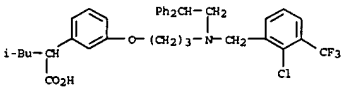
RN 610318-87-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-propyl- (9CI) (CA INDEX NAME)



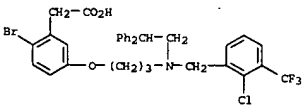
RN 610318-88-2 CAPLUS
CN Benzeneacetic acid, α-butyl-3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy] (9CI) (CA INDEX NAME)



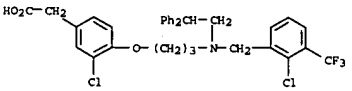
RN 610318-89-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-(2-methylpropyl)- (9CI) (CA INDEX NAME)



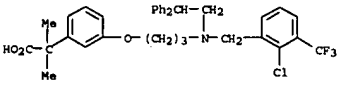
RN 610318-93-9 CAPLUS
CN Benzeneacetic acid, 2-bromo-5-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy] (9CI) (CA INDEX NAME)



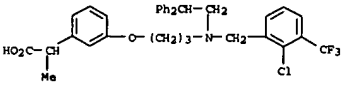
RN 610318-94-0 CAPLUS
CN Benzeneacetic acid, 3-chloro-4-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy] (9CI) (CA INDEX NAME)



RN 610318-95-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α,α-dimethyl- (9CI) (CA INDEX NAME)



RN 610318-96-2 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α-methyl- (9CI) (CA INDEX NAME)

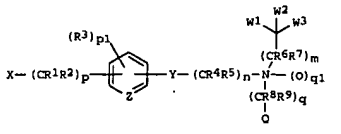


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:796427 CAPLUS
DOCUMENT NUMBER: 139:323535
TITLE: Preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivatives as modulating agents for liver X receptors (LXR)
INVENTOR(S): Thompson, Scott K.; Frazee, James S.; Kallander, Lara S.; Ma, Chun; Marino, Joseph P.; Neeb, Michael J.; Bhat, Ajita; Mcatee, John Jeffrey; Stavenger, Robert A.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082205	A2	20031009	WO 2003-US9450	20030326
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003226094	A1	20031013	AU 2003-226094	20030326
US 2005113580	A1	20050526	US 2003-508894	20030326
EP 1575495	A2	20050921	EP 2003-745638	20030326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512280	T	20060413	JP 2003-579748	20030326
PRIORITY APPLN. INFO.:			US 2002-368425P P	20020327
			WO 2003-US9450 W	20030326

OTHER SOURCE(S): MARPAT 139:323535
GI



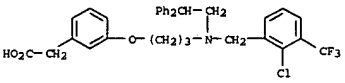
I

AB The title compds. (I) [X = C1-8 alkyl, halo, each (un)substituted OH, NH2, NHCONH2, SO2NH2, CO2H, or C(:NH)NH2, 5 or 6-membered heterocyclyl, etc.; or X and R3 together with their bonded atoms form alkylenedioxy; Z = (un)substituted CH or N; when Z = (un)substituted CH, p1 = 0-4 and q1 = 0-1; when Z = N, p1 = 0-3 and q1 = 0; Y = O, S, each (un)substituted NH or CH2; W1 = C1-6 alkyl, C3-8 cycloalkyl, aryl, heterocyclyl, etc.; W2 = H,

halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, each N, S, or O-(un)substituted C0-6 alkyl-NH2, C0-C6 alkyl-SH, C0-6 alkyl-OH, C0-6 alkyl-CO2H, etc.; W3 = H, halo, C1-6 alkyl, each N, S, or O-(un)substituted C0-6 alkyl-NH2, C0-6 alkyl-SH, C0-6 alkyl-OH, or C0-6 alkyl-CO2H, etc.; p = 0-8; n = 2-8; m, q, q1 = 0, 1; R1, R2 = H, halo, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, each N-, O-, or S-(un)substituted C0-6 alkyl-NH2 C0-6 alkyl-OH, or C0-6 alkyl-SH, heterocyclyl-C1-C6 alkyl, aryl-C1-6 alkyl, C3-7 cycloalkyl-C1-C6 alkyl, etc.; or CR1R2 forms a 3-5 membered carbocyclic or heterocyclic ring; R3 = halo, cyano, nitro, C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, aryl-C0-6 alkyl, heterocyclyl-C0-6 alkyl etc.; R4, R5 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl; R6, R7, R8, R9 = H, halo, C1-6 alkyl, heterocyclyl-C0-6 alkyl, aryl-C0-6 alkyl, C3-7 cycloalkyl-C0-6 alkyl, etc.] or pharmaceutically acceptable salts or solvates thereof are prepared. Many specific compds. are claimed. Also disclosed are pharmaceutical comprns. containing the compds. I. The compds. I, salts and solvates of this invention are useful as LXR agonists for the prevention or treatment of LXR-mediated diseases such as cardiovascular disease, atherosclerosis, inflammation or as a medicament for increasing reverse cholesterol transport or inhibiting cholesterol absorption.

IT 405911-17-3P 610317-99-2P 610318-03-1P
610318-44-0P 612498-50-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as modulating agents for liver X receptors (LXR) for prevention or treatment of LXR-mediated diseases)

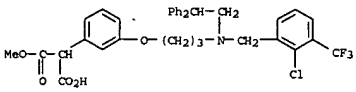
RN 405911-17-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 610317-99-2 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



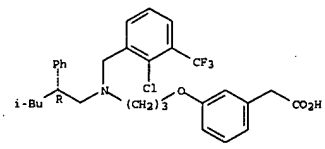
IT 612499-46-4P 612499-48-6P 612499-50-0P
612499-52-2P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as modulating agents for liver X receptors (LXR) for prevention or treatment of LXR-mediated diseases)

RN 612499-46-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-4-methyl-2-phenylpentyl]amino]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 612499-45-3
CMF C31 H35 Cl F3 N O3

Absolute stereochemistry.



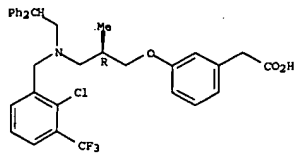
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 612499-48-6 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-4-methyl-2-phenylpentyl]amino]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

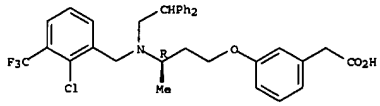
CRN 612499-47-5



● HCl

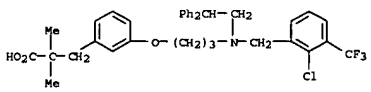
RN 610318-03-1 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

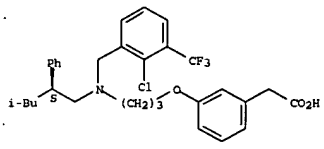
RN 610318-44-0 CAPLUS
CN Benzenepropanoic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α,α-dimethyl- (9CI) (CA INDEX NAME)



RN 612498-50-7 CAPLUS
CN Propanedioic acid, [3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]phenyl]-, monomethyl ester (9CI) (CA INDEX NAME)

CMF C31 H35 Cl F3 N O3

Absolute stereochemistry.



CM 2

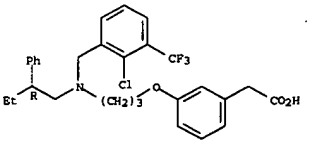
CRN 76-05-1
CMF C2 H F3 O2

RN 612499-50-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylbutyl]amino]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 612499-49-7
CMF C29 H31 Cl F3 N O3

Absolute stereochemistry.



CM 2

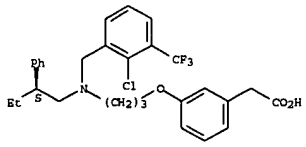
CRN 76-05-1
CMF C2 H F3 O2

RN 612499-52-2 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylbutyl]amino]propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 612499-51-1
CMF C29 H31 Cl F3 N O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 609772-15-8P 609772-16-9P 612494-89-0P
612494-96-9P 612495-07-5P 612495-08-6P
612495-09-7P 612495-10-0P 612495-11-1P
612495-12-2P 612495-13-3P 612495-14-4P
612495-48-4P 612495-57-5P 612495-59-7P
612495-61-1P 612496-22-7P 612496-23-8P
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612496-81-8P 612496-82-9P 612496-83-0P
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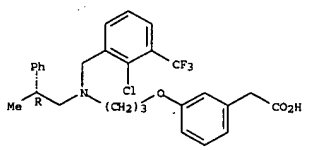
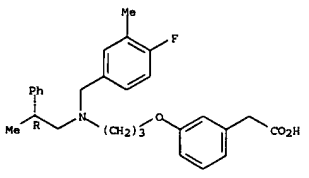
612496-87-4P 612496-88-5P 612496-89-6P
612496-90-9P 612497-02-6P 612497-41-3P
612497-42-4P 612497-47-9P 612497-48-0P
612497-50-4P 612497-51-5P 612498-00-7P
612498-01-8P 612498-02-9P 612498-03-0P
612498-04-1P 612498-05-2P 612498-06-3P
612498-08-5P 612498-09-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as modulating agents for liver X receptors (LXR) for prevention or treatment of LXR-mediated diseases)



● HCl

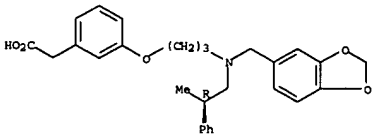
RN 612495-07-5 CAPLUS
CN Benzeneacetic acid, 3-[3-[[(4-fluoro-3-methylphenyl)methyl][(2R)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



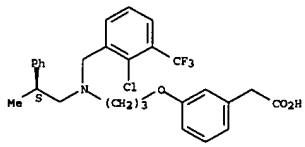
RN 612495-08-6 CAPLUS
CN Benzeneacetic acid, 3-[3-[(1,3-benzodioxol-5-ylmethyl)[(2R)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



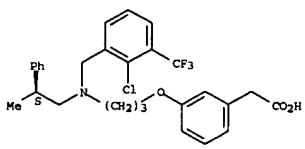
RN 612495-09-7 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[4-(1,1-dimethylethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



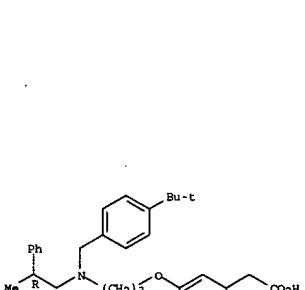
RN 609772-15-8 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



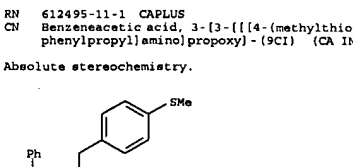
RN 609772-16-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 612494-89-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)oxidoamino]propoxy]-(9CI) (CA INDEX NAME)



RN 612494-96-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 612495-10-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl][(2R)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

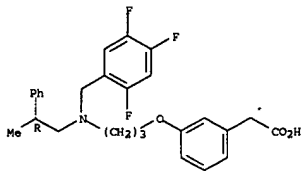
Absolute stereochemistry.

RN 612495-11-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[4-(methylthio)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

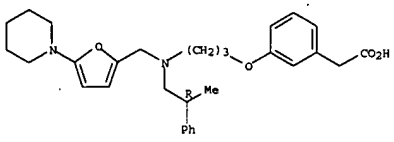
RN 612495-12-2 CAPLUS
CN Benzeneacetic acid, 3-[3-[[(2R)-2-phenylpropyl][(2,4,5-trifluorophenyl)methyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



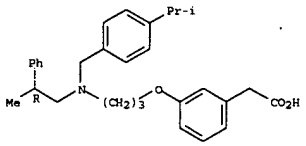
RN 612495-13-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[(2R)-2-phenylpropyl][[5-(1-piperidinyl)-2-furanyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

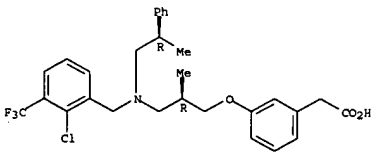


RN 612495-14-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[4-(1-methylethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



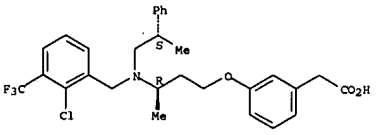
RN 612495-48-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](3,3,3-trifluoro-2-phenylpropyl)amino]propoxy]-(9CI) (CA INDEX NAME)



● HCl

RN 612496-22-7 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

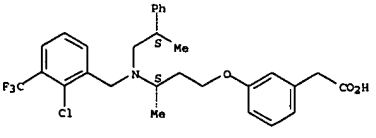
Absolute stereochemistry.



● HCl

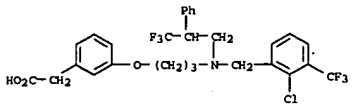
RN 612496-23-8 CAPLUS
CN Benzeneacetic acid, 3-[(3S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]butoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



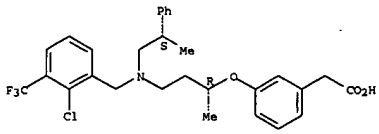
● HCl

RN 612496-25-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S



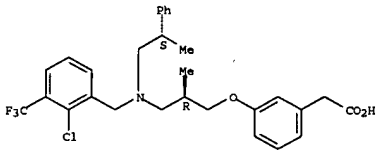
RN 612495-57-5 CAPLUS
CN Benzeneacetic acid, 3-[(1R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]-1-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 612495-59-7 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



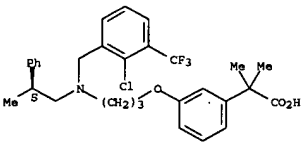
● HCl

RN 612495-61-1 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]-2-methylpropoxy]-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

)-2-phenylpropyl]amino]propoxy]α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

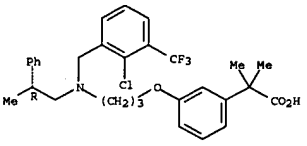
Absolute stereochemistry.



● HCl

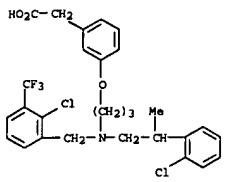
RN 612496-26-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



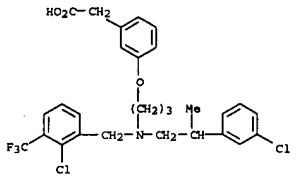
● HCl

RN 612496-80-7 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(2-chlorophenyl)propyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



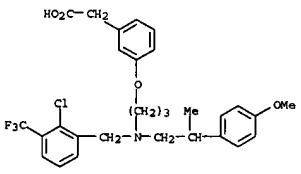
● HCl

RN 612496-81-8 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(3-chlorophenyl)propyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



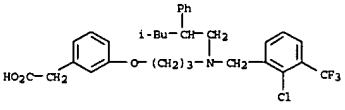
● HCl

RN 612496-82-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(4-chlorophenyl)propyl][[2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



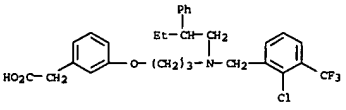
● HCl

RN 612496-85-2 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](4-methyl-2-phenylpentyl)amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



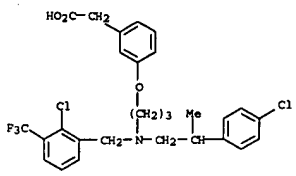
● HCl

RN 612496-86-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-phenylbutyl)amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



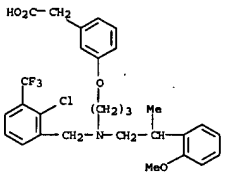
● HCl

RN 612496-87-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-methyl-2-phenylpropyl)amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



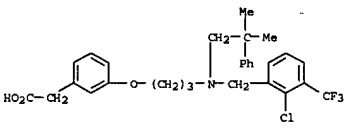
● HCl

RN 612496-83-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][2-(2-methoxyphenyl)propyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



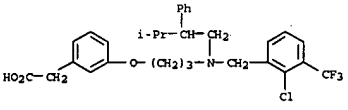
● HCl

RN 612496-84-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][2-(4-methoxyphenyl)propyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



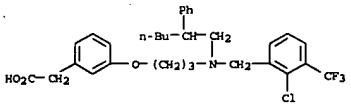
● HCl

RN 612496-88-5 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](3-methyl-2-phenylbutyl)amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



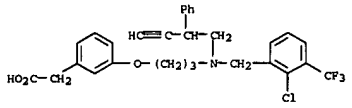
● HCl

RN 612496-89-6 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-phenylhexyl)amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



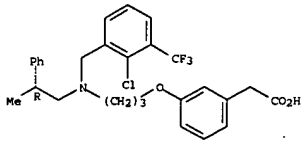
● HCl

RN 612496-90-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-phenyl-3-butynyl)amino]propoxy]-(9CI) (CA INDEX NAME)



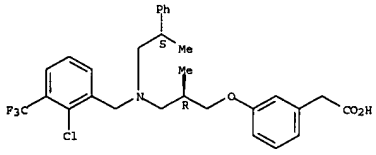
RN 612497-02-6 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



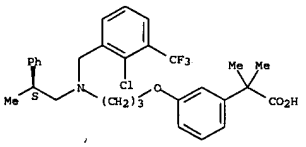
RN 612497-41-3 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



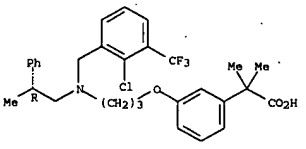
RN 612497-42-4 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

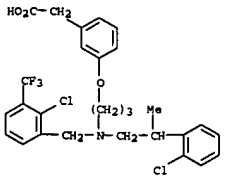


RN 612497-51-5 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2R)-2-phenylpropyl]amino]propoxy]α,α-dimethyl- (9CI) (CA INDEX NAME)

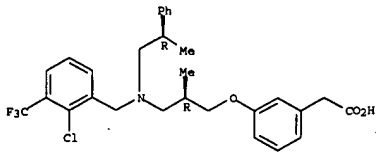
Absolute stereochemistry.



RN 612498-00-7 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(2-chlorophenyl)propyl][2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)

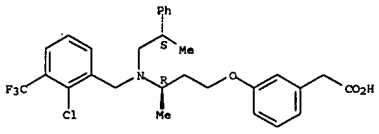


RN 612498-01-8 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(3-chlorophenyl)propyl][2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



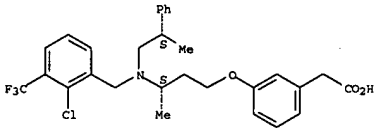
RN 612497-47-9 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



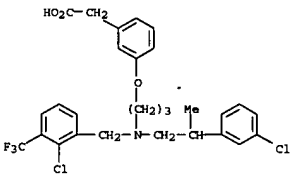
RN 612497-48-0 CAPLUS
CN Benzeneacetic acid, 3-[(3S)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

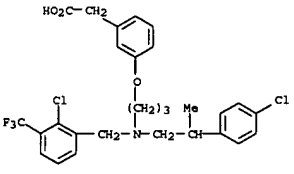


RN 612497-50-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]α,α-dimethyl- (9CI) (CA INDEX NAME)

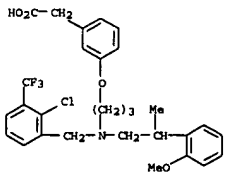
Absolute stereochemistry.



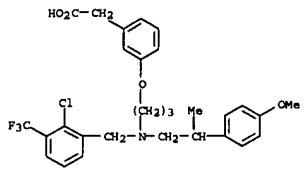
RN 612498-02-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[2-(4-chlorophenyl)propyl][2-chloro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



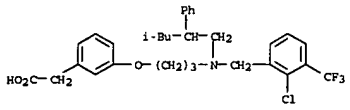
RN 612498-03-0 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][2-(2-methoxyphenyl)propyl]amino]propoxy]-(9CI) (CA INDEX NAME)



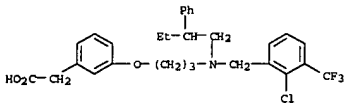
RN 612498-04-1 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][2-(4-methoxyphenyl)propyl]amino]propoxy]-(9CI) (CA INDEX NAME)



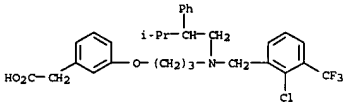
RN 612498-05-2 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](4-methyl-2-phenylpentyl)amino]propoxy]-(9CI) (CA INDEX NAME)



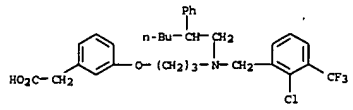
RN 612498-06-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-phenylbutyl)amino]propoxy]-(9CI) (CA INDEX NAME)



RN 612498-08-5 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](3-methyl-2-phenylbutyl)amino]propoxy]-(9CI) (CA INDEX NAME)

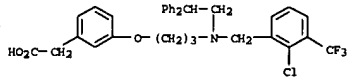


RN 612498-09-6 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2-phenylhexyl)amino]propoxy]-(9CI) (CA INDEX NAME)



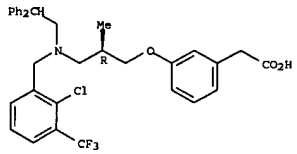
IT 405911-09-3 610318-50-8 610318-54-2
612499-24-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of N-[3-(2-pyridyloxy or phenoxy)propyl]benzylamine derivs. as modulating agents for liver X receptors (LXR) for prevention or treatment of LXR-mediated diseases)

RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)



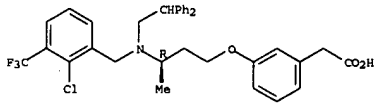
RN 610318-50-8 CAPLUS
CN Benzeneacetic acid, 3-[(2R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]-2-methylpropoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



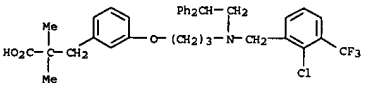
RN 610318-54-2 CAPLUS
CN Benzeneacetic acid, 3-[(3R)-3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]butoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 612499-24-8 CAPLUS

CN Benzenepropanoic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]α,α-dimethyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L5 ANSWER 37 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:796421 CAPLUS
DOCUMENT NUMBER: 139:302072
TITLE: Methods of treatment with LXR modulators
INVENTOR(S): Cairns, William J.; Irving, Elaine A.; Parsons, Andrew A.; Soden, Peter E.; Richardson, Jill C.; Burbidge, Stephen A.; Vinson, Mary; Watson, Mike A.; Whitney, Karl
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

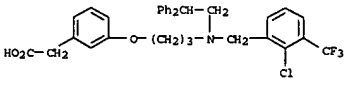
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082198	A2	20031009	WO 2003-US9225	20030326
WO 2003082198	A3	20041223		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003220521	A1	20031013	AU 2003-220521	20030326
EP 1511483	A2	20050309	EP 2003-716832	20030326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171084	A1	20050804	US 2003-509197	20030326
JP 2005533007	T	20051104	JP 2003-579741	20030326
PRIORITY APPLN. INFO.:			US 2002-368424P	P 20020327
			WO 2003-US9225	W 20030326

OTHER SOURCE(S): MARPAT 139:302072

AB In one aspect, the present invention provides the use of an LXR receptor agonist in the manufacture of medicaments for the treatment and/or prevention of diseases or conditions characterized by neuron degeneration, inflammation in the CNS, injury or impaired plasticity. In another aspect, the present invention provides a method for treating a patient suffering from a disease selected from the group consisting of: stroke, Alzheimer's disease, fronto-temporal dementias, peripheral neuropathy, Parkinson's disease, dementia with Lewy bodies, Huntington's disease, amyotrophic lateral sclerosis, and multiple sclerosis, said method comprising the step of administering to said patient an effective amount of an LXR receptor modulator in combination with a carrier. In yet another aspect, the present invention provides a method for promoting cholesterol efflux in at least one astroglial cell, said method comprising the step of: contacting said at least one astroglial cell with a cholesterol-efflux-promoting effective amount of an LXR receptor modulator in combination with a carrier.

IT 405911-09-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

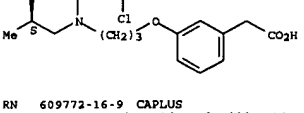
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)



IT 609772-15-8P 609772-16-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods of treatment of neuron degeneration and inflammation in the CNS or impaired plasticity with LXR modulators in relation to promoting cholesterol efflux in astroglial cells)

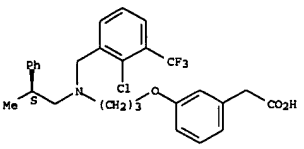
RN 609772-15-8 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



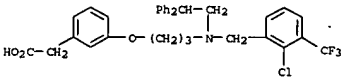
RN 609772-16-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl][(2S)-2-phenylpropyl]amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

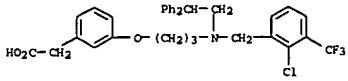
L5 ANSWER 38 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:771030 CAPLUS
DOCUMENT NUMBER: 139:334533
TITLE: The Three-dimensional Structure of the Liver X Receptor β Reveals a Flexible Ligand-binding Pocket That Can Accommodate Fundamentally Different Ligands
AUTHOR(S): Faernegardh, Mathias; Bonn, Tomas; Sun, Sherry; Ljunggren, Jan; Ahola, Harri; Wilhelmsson, Anna; Gustafsson, Jan-Ake; Carlquist, Mats
CORPORATE SOURCE: Karolinska Institute, Huddinge University Hospital, NOVUM, Karo Bio AB, Huddinge, SE-141 57, Swed.
SOURCE: Journal of Biological Chemistry (2003), 278(40), 38821-38828
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The structures of the liver X receptor LXRβ (NR1H2) have been determined in complexes with two synthetic ligands, T0901317 and GW3965, to 2.1 and 2.4 Å, resp. Together with its isoform LXRα (NR1H3) it regulates target genes involved in metabolism and transport of cholesterol and fatty acids. The two LXRβ structures reveal a flexible ligand-binding pocket that can adjust to accommodate fundamentally different ligands. The ligand-binding pocket is hydrophobic but with polar or charged residues at the two ends of the cavity. T0901317 takes advantage of this by binding to His-435 close to H12 while GW3965 orients itself with its charged group in the opposite direction. Both ligands induce a fixed "agonist conformation" of helix H12 (also called the AF-2 domain), resulting in a transcriptionally active receptor.
IT 405911-09-3, GW3965, complex with liver X receptor β
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(three-dimensional structure of human liver X receptor β reveals a flexible ligand-binding pocket that can accommodate fundamentally different ligands)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:633275 CAPLUS
DOCUMENT NUMBER: 139:169333
TITLE: Novel anticholesterol compositions and method for using same
INVENTOR(S): Dudley, Robert; Liao, Shutsung; Song, Ching
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 137,695.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003153541	A1	20030814	US 2002-174934	20020619
WO 9922728	A1	19990514	WO 1998-US23041	19981030
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6576660	B1	20030610	US 2000-530443	20000428
US 6645955	B1	20031111	US 2000-560236	20000428
ZA 2001009793	A	20030228	ZA 2001-9793	20011128
CA 2438221	A1	20020815	CA 2002-2438221	20020207
EP 1385868	A2	20040204	EP 2002-704407	20020207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005508281	T	20050331	JP 2002-562310	20020207
US 2002107233	A1	20020808	US 2002-72128	20020208
US 2002193357	A1	20021219	US 2002-137695	20020502
US 7012069	B2	20060314		
CA 2489702	A1	20031231	CA 2003-2489702	20030619
WO 2004001002	A2	20031231	WO 2003-US19515	20030619
WO 2004001002	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003245605	A1	20040106	AU 2003-245605	20030619
EP 1534298	A2	20050601	EP 2003-739234	20030619

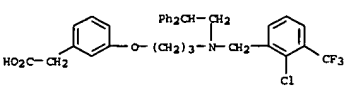


REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 39 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:643137 CAPLUS
DOCUMENT NUMBER: 140:266251
TITLE: Molecular determinants of LXRα agonism
AUTHOR(S): Wang, Minmin; Thomas, Jeffrey; Burris, Thomas P.; Schkeryantz, Jeffrey; Michael, Laura F.
CORPORATE SOURCE: Lilly Research Laboratories, Department of Discovery Chemistry Research and Technologies, Eli Lilly & Company, Indianapolis, IN, 46285, USA
SOURCE: Journal of Molecular Graphics & Modelling (2003), 22(2), 173-181
CODEN: JMGMFI; ISSN: 1093-3263
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Liver X receptors (LXRs) are nuclear receptors that participate in the regulation of cholesterol, bile acid, and glucose metabolism Despite the identification of the natural oxysterol and nonsteroidal ligands for LXRα, little is known about the structure of the LXRα ligand-binding domain (LBD). We constructed a 3-dimensional (3D) homol. model of the LBD of LXRα based on the crystal structure of the retinoic acid receptor γ (RARγ) and all-trans retinoic acid complex. We combined mol. modeling and classical structure-function techniques to define the interactions between the LBD and 3 structurally diverse ligands, 22(R)-hydroxycholesterol (22RHC), N-(2,2,2-trifluoroethyl)-N-[4-(2,2,2-trifluoro-1-hydroxy-1-trifluoromethyl-ethyl)-phenyl]-benzenesulfonamide (T0901317) and (3-{3-[(2-chloro-3-trifluoromethyl-benzyl)-(2,2-diphenyl-ethyl)-amino]-propoxy}-phenyl)-acetic acid (GW3965). Sixteen individual amino acid point mutations were made in the predicted ligand-binding cavity of the LBD, and each of these mutant receptors was assessed for their ability to be activated by these 3 ligands. The majority of individual mutations resulted in lack of activation by all 3 ligands. Two residues were identified that resulted in a significant increase in basal activity while retaining responsiveness to the ligands. Interestingly, a number of residues were identified that appear to be selective in their response to a particular ligand, indicating that these 3 ligands recognize distinct structural components within the ligand-binding cavity. These data, together with our docking study, enable us to identify the amino acids that coordinate the interaction of both steroidal and non-steroidal ligands in the ligand-binding pocket of LXRα.
IT 405911-09-3, GW 3965
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(GW 3965; mol. determinants of liver X receptor α agonism)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533810	T	20051110	JP 2004-516031	20030619
PRIORITY APPLN. INFO.:			US 1997-63770P	P 19971031
			WO 1998-US23041	W 19981030
			US 1999-131728P	P 19990430
			US 2000-530443	A2 20000428
			US 2000-560236	A2 20000428
			US 2001-267493P	P 20010208
			US 2001-288643P	P 20010503
			US 2001-348020P	P 20011108
			US 2002-72128	A2 20020208
			US 2002-137695	A2 20020502
			US 2000-191864P	P 20000324
			WO 2002-US3826	W 20020207
			US 2002-174934	A 20020619
			WO 2003-US19515	W 20030619

OTHER SOURCE(S): MARPAT 139:169333
AB Disclosed are compns., methods, combinations, and kits for treating a disorder related to elevated serum cholesterol concentration, for example, atherosclerosis, elevated LDL plasma levels, low HDL plasma levels, hypertriglyceridemia, hyperlipidemia, hypertension, hypercholesterolemia, cholesterol gallstones, lipid storage diseases, obesity, and diabetes. The compns., methods, combinations, and kits of the present invention are pharmaceutical compns. comprising at least two of an LXR receptor modulator, a therapeutically effective amount of a catechin, and/or a therapeutically effective amount of a lipid regulating agent, such as a HMG-CoA reductase inhibitor, a fibric acid derivative, niacin, a bile-acid sequestrant, an absorption inhibitor, probucol, raloxifene and its derivs., an azetidinone compound, and an unsatd. omega-3 fatty acid.
IT 405911-09-3, GW3965
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anticholesterol compns. containing LXR modulators and lipid regulating agents)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]- (9CI) (CA INDEX NAME)



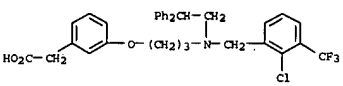
L5 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:472342 CAPLUS
DOCUMENT NUMBER: 139:47197
TITLE: Treatment for age-related macular degeneration
INVENTOR(S): Schwartz, Daniel M.; Duncan, Keith; Bailey, Kathy; Kane, John; Ishida, Brian
PATENT ASSIGNEE(S): Regents of the University of California, USA
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049685	A2	20030619	WO 2002-US38856	20021206

WO 2003049685 A3 20040708
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2468989 A1 20030619 CA 2002-2468989 20021206
AU 2002360489 A1 20030623 AU 2002-360489 20021206
EP 1461028 A2 20040929 EP 2002-795748 20021206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
JP 2005511713 T 20050428 JP 2003-550736 20021206
PRIORITY APPLN. INFO.: US 2001-340498P P 20011207
US 2002-415864P P 20021003
WO 2002-US38856 W 20021206

AB The present invention addresses the treatment of age-related macular degeneration using regulation of pathogenic mechanisms similar to atherosclerosis. In further specific embodiments, reverse cholesterol transport components, such as transporters and HDL fractions, are utilized as diagnostic and therapeutic targets for age-related macular degeneration. In a specific embodiment, the lipid content of the retinal pigment epithelium, and/or Bruch's membrane is reduced.

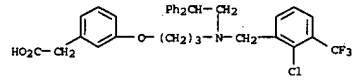
IT 405911-09-3, GW3965
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment for age-related macular degeneration)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)



L5 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:101818 CAPLUS
DOCUMENT NUMBER: 139:47079
TITLE: Liver X receptor activators display anti-inflammatory activity in irritant and allergic contact dermatitis models: Liver-X-receptor-specific inhibition of inflammation and primary cytokine production
AUTHOR(S): Fowler, Ashley J.; Sheu, Mary Y.; Schmuth, Matthias; Kao, Jack; Fluhr, Joachim W.; Rhein, Linda; Collins, Jon L.; Willson, Timothy M.; Mangelsdorf, David J.; Elias, Peter M.; Feingold, Kenneth R.
CORPORATE SOURCE: Department of Dermatology, University of California, San Francisco, USA
SOURCE: Journal of Investigative Dermatology (2003), 120(2), 246-255
CODEN: JIDEAE; ISSN: 0022-202X
PUBLISHER: Blackwell Publishing, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Activators of liver X receptors (LXR) stimulate epidermal differentiation and development, but inhibit keratinocyte proliferation. In this study, the anti-inflammatory effects of two oxysterols, 22(R)-hydroxycholesterol (22ROH) and 25-hydroxycholesterol (25OH), and a nonsterol activator of LXR, GW3965, were examined utilizing models of irritant and allergic contact dermatitis. Irritant dermatitis was induced by applying phorbol 12-myristate-13-acetate (TPA) to the surface of the ears of CD1 mice, followed by treatment with 22ROH, 25OH, GW3965, or vehicle alone. Whereas TPA treatment alone induced an ≈2-fold increase in ear weight and thickness, 22ROH, 25OH, or GW3965 markedly suppressed the increase (greater than 50% decrease), and to an extent comparable to that observed with 0.05% clobetasol treatment. Histol. also revealed a marked decrease in TPA-induced cutaneous inflammation in oxysterol-treated animals. As topical treatment with cholesterol did not reduce the TPA-induced inflammation, and the nonsterol LXR activator (GW3965) inhibited inflammation, the anti-inflammatory effects of oxysterols cannot be ascribed to a non-specific sterol effect. In addition, 22ROH did not reduce inflammation in LXRβ -/- or LXRαβ -/- animals, indicating that LXRβ is required for this anti-inflammatory effect. 22ROH also caused a partial reduction in ear thickness in LXRα -/- animals, however (≈50% of that observed in wild-type mice), suggesting that this receptor also mediates the anti-inflammatory effects of oxysterols. Both ear thickness and weight increased (≈1.5-fold) in the oxazolone-induced allergic dermatitis model, and 22ROH and GW3965 reduced inflammation by ≈50% and ≈30%, resp. Finally, immunohistochem. demonstrated an inhibition in the production of the pro-inflammatory cytokines interleukin-1α and tumor necrosis factor α in the oxysterol-treated sites from both TPA- and oxazolone-treated animals. These studies demonstrate that activators of LXR display potent anti-inflammatory activity in both irritant and allergic contact models of dermatitis, requiring the participation of both LXRα and LXRβ. LXR activators could provide a new class of therapeutic agents for the treatment of cutaneous inflammatory disorders.

IT 405911-09-3, GW3965
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(liver-X-receptor-specific inhibition of inflammation and primary cytokine production in irritant and allergic contact dermatitis)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)

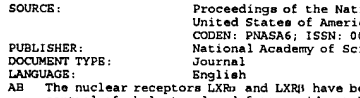


REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:434801 CAPLUS
DOCUMENT NUMBER: 137:362768
TITLE: Synthetic LXR ligand inhibits the development of atherosclerosis in mice
AUTHOR(S): Joseph, Sean B.; McKilligin, Elaine; Pei, Liming; Watson, Michael A.; Collins, Alan R.; Laffitte, Bryan A.; Chen, Mingyi; Noh, Grace; Goodman, Joanne; Hagger, Graham N.; Tran, Jonathan; Tippin, Tim K.; Wang, Xuping; Lusis, Aldons J.; Hsueh, Wilia A.; Law, Ronald E.; Collins, Jon L.; Willson, Timothy M.; Tontonoz, Peter
CORPORATE SOURCE: Departments of Pathology and Laboratory Medicine, University of California, Los Angeles, CA, 90095-1662, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2002), 99(11), 7604-7609
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The nuclear receptors LXRα and LXRβ have been implicated in the control of cholesterol and fatty acid metabolism in multiple cell types. Activation of these receptors stimulates cholesterol efflux in macrophages, promotes bile acid synthesis in liver, and inhibits intestinal cholesterol absorption, actions that would collectively be expected to reduce atherosclerotic risk. However, synthetic LXR ligands have also been shown to induce lipogenesis and hypertriglyceridemia in mice, raising questions as to the net effects of these compds. on the development of cardiovascular disease. We demonstrate here that the nonsteroidal LXR agonist GW3965 has potent antiatherogenic activity in two different murine models. In LDLR-/- mice, GW3965 reduced lesion area by 53% in males and 34% in females. A similar reduction of 47% was observed in male apoE-/- mice. Long-term (12-wk) treatment with LXR agonist had differential effects on plasma lipid profiles in LDLR-/- and apoE-/- mice. GW3965 induced expression of ATP-binding cassettes A1 and G1 in modified low-d. lipoprotein-loaded macrophages in vitro as well as in the aortas of hyperlipidemic mice, suggesting that direct actions of LXR ligands on vascular gene expression are likely to contribute to their antiatherogenic effects. These observations provide direct evidence for an atheroprotective effect of LXR agonists and support their further evaluation as potential modulators of human cardiovascular disease.

IT 405911-09-3
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synthetic LXR ligand inhibits the development of atherosclerosis in mice)
RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)

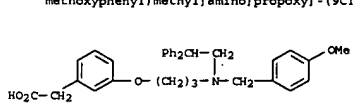


REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

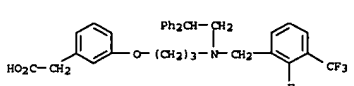
L5 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:287592 CAPLUS
DOCUMENT NUMBER: 137:41546
TITLE: Identification of a Nonsteroidal Liver X Receptor Agonist through Parallel Array Synthesis of Tertiary Amines
AUTHOR(S): Collins, Jon L.; Fivush, Adam M.; Watson, Michael A.; Galardi, Cristin M.; Lewis, Michael C.; Moore, Linda B.; Parks, Derek J.; Wilson, Joan G.; Tippin, Tim K.; Binz, Jane G.; Plunket, Kelli D.; Morgan, Daniel G.; Beaudet, Elizabeth J.; Whitney, Karl D.; Kliewer, Steven A.; Willson, Timothy M.
CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(10), 1963-1966
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A potent, selective, orally active liver x receptor (LXR) agonist was identified from focused libraries of tertiary amines. GW3965 recruits the steroid receptor coactivator 1 to human LXRα in a cell-free ligand-sensing assay with an EC50 of 125 nM and profiles as a full agonist on hLXRα and hLXRβ in cell-based reporter gene assays with EC50's of 190 and 30 nM, resp. After oral dosing at 10 mg/kg to C57BL/6 mice, GW3965 increased expression of the reverse cholesterol transporter ABCA1 in the small intestine and peripheral macrophages and increased the plasma concns. of HDL cholesterol by 30%. GW3965 will be a valuable chemical tool to investigate the role of LXR in the regulation of reverse cholesterol transport and lipid metabolism

IT 405911-05-9
RL: PAC (Pharmacological activity); BIOL (Biological study)
(tertiary amine as nonsteroidal liver X receptor agonist which increases expression of reverse cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and has good oral bioavailability)
RN 405911-05-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



IT 437991-39-4
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tertiary amine as nonsteroidal liver X receptor agonist which increases expression of reverse cholesterol transporter ABCA1 and plasma concns. of HDL cholesterol and has good oral bioavailability)
RN 437991-39-4 CAPLUS
CN Benzeneacetic acid, 3-[3-[(2,2-diphenylethyl)[[2-fluoro-3-(trifluoromethyl)phenyl]methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:240713 CAPLUS
DOCUMENT NUMBER: 136:294650
TITLE: Preparation of substituted phenylacetamides and benzamides as agonists for Liver X receptors (LXR)
INVENTOR(S): Collins, Jon Loren; Fivush, Adam M.; Maloney, Patrick Reed; Stewart, Eugene L.; Willson, Timothy Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK

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GOING 45 ? ELECTION OF SPECIES

SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024632	A2	20020328	WO 2001-US27622	20010906
WO 2002024632	A3	20020711		
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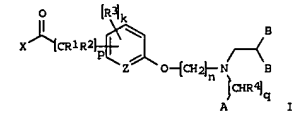
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002011216	A5	20020402	AU 2002-11216	20010906
EP 1318976	A2	20030618	EP 2001-979230	20010906
EP 1318976	B1	20041124		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509161	T	20040325	JP 2002-528647	20010906
AT 283253	T	20041215	AT 2001-979230	20010906
ES 2233700	T3	20050616	ES 2001-1979230	20010906
US 2004072868	A1	20040415	US 2003-380932	20030318
US 2005282908	A1	20051222	US 2005-154852	20050616

PRIORITY APPLN. INFO.: US 2000-233144P P 20000918
WO 2001-US27622 W 20010906
US 2003-380932 A1 20030318

OTHER SOURCE(S): MARPAT 136:294650
GI



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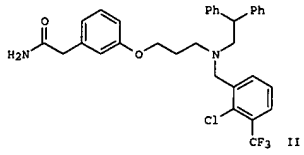

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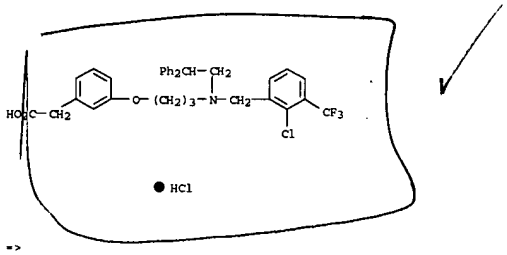

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Executing the logoff script...

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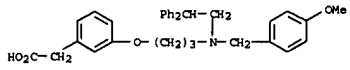
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	252.19	432.60
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-35.10	-35.10

STN INTERNATIONAL LOGOFF AT 13:06:15 ON 30 JAN 2007

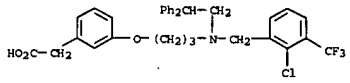
AB The title compds. [I; X = OH, NH2; p = 0-6; R1, R2 = H, alkyl, alkoxy, thioalkyl; Z = CH, N; when Z = CH, k = 0-4; when Z = N, k = 0-3; R3 = halo, OH, alkyl, etc.; n = 2-8; q = 0-1; R4 = H, alkyl, alkenyl, alkenyloxy; A = cycloalkyl, aryl, 4-8 membered heterocycle, 5-6 membered heteroaryl; B = cycloalkyl, aryl] and their pharmaceutically acceptable salts, useful for the prevention or treatment of an LXR mediated disease and condition such as cardiovascular disease and atherosclerosis (no biol. data given), were prepared E.g., a solid phase synthesis of II was given.

IT 405911-05-9P 405911-09-3P 405911-13-9P
405911-17-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted phenylacetamides and benzamides as agonists for Liver X receptors (LXR))

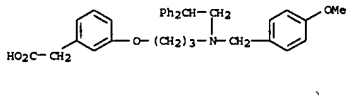
RN 405911-05-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]propoxy]-(9CI) (CA INDEX NAME)



RN 405911-09-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-(9CI) (CA INDEX NAME)



RN 405911-13-9 CAPLUS
CN Benzeneacetic acid, 3-[3-[(2,2-diphenylethyl)[(4-methoxyphenyl)methyl]amino]propoxy]-,hydrochloride (9CI) (CA INDEX NAME)



RN 405911-17-3 CAPLUS
CN Benzeneacetic acid, 3-[3-[[[2-chloro-3-(trifluoromethyl)phenyl]methyl](2,2-diphenylethyl)amino]propoxy]-, hydrochloride (9CI) (CA INDEX NAME)